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PASSWORD:
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* * * * * * * * * * Welcome to STN International * * * * * * * * * *

NEWS	1		Web Page for STN Seminar Schedule - N. America
NEWS	2	JAN 02	STN pricing information for 2008 now available
NEWS	3	JAN 16	CAS patent coverage enhanced to include exemplified prophetic substances
NEWS	4	JAN 28	USPATFULL, USPAT2, and USPATOLD enhanced with new custom IPC display formats
NEWS	5	JAN 28	MARPAT searching enhanced
NEWS	6	JAN 28	USGENE now provides USPTO sequence data within 3 days of publication
NEWS	7	JAN 28	TOXCENTER enhanced with reloaded MEDLINE segment
NEWS	8	JAN 28	MEDLINE and LMEDLINE reloaded with enhancements
NEWS	9	FEB 08	STN Express, Version 8.3, now available
NEWS	10	FEB 20	PCI now available as a replacement to DPCI
NEWS	11	FEB 25	IFIREF reloaded with enhancements
NEWS	12	FEB 25	IMSPRODUCT reloaded with enhancements
NEWS	13	FEB 29	WPINDEX/WPIDS/WPIX enhanced with ECLA and current U.S. National Patent Classification
NEWS	14	MAR 31	IFICDB, IFIPAT, and IFIUDB enhanced with new custom IPC display formats
NEWS	15	MAR 31	CAS REGISTRY enhanced with additional experimental spectra
NEWS	16	MAR 31	CA/CAplus and CASREACT patent number format for U.S. applications updated
NEWS	17	MAR 31	LPCI now available as a replacement to LDPCI
NEWS	18	MAR 31	EMBASE, EMBAL, and LEMBASE reloaded with enhancements
NEWS	19	APR 04	STN AnaVist, Version 1, to be discontinued
NEWS	20	APR 15	WPIDS, WPINDEX, and WPIX enhanced with new predefined hit display formats
NEWS	21	APR 28	EMBASE Controlled Term thesaurus enhanced
NEWS	22	APR 28	IMSRESEARCH reloaded with enhancements
NEWS	23	MAY 30	INPAFAMDB now available on STN for patent family searching
NEWS	24	MAY 30	DGENE, PCTGEN, and USGENE enhanced with new homology sequence search option
NEWS	25	JUN 06	EPFULL enhanced with 260,000 English abstracts
NEWS	26	JUN 06	KOREAPAT updated with 41,000 documents
NEWS	27	JUN 13	USPATFULL and USPAT2 updated with 11-character patent numbers for U.S. applications
NEWS	28	JUN 19	CAS REGISTRY includes selected substances from web-based collections
NEWS	29	JUN 25	CA/CAplus and USPAT databases updated with IPC reclassification data

NEWS EXPRESS JUNE 27 08 CURRENT WINDOWS VERSION IS V8.3,
AND CURRENT DISCOVER FILE IS DATED 23 JUNE 2008.

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 NEWS HOURS    STN Operating Hours Plus Help Desk Availability
 NEWS LOGIN    Welcome Banner and News Items
 NEWS IPC8     For general information regarding STN implementation of IPC 8

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specific topic.

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* * * * * * * * * * * * * * * * STN  Columbus  * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 15:55:52 ON 26 JUN 2008

=> file registry
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                         ENTRY    SESSION
FULL ESTIMATED COST                                       0.21       0.21

FILE 'REGISTRY' ENTERED AT 15:56:15 ON 26 JUN 2008
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STRUCTURE FILE UPDATES:   25 JUN 2008  HIGHEST RN 1030702-50-1
DICTIONARY FILE UPDATES:  25 JUN 2008  HIGHEST RN 1030702-50-1

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TSCA INFORMATION NOW CURRENT THROUGH January 9, 2008.

  Please note that search-term pricing does apply when
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REGISTRY includes numerically searchable data for experimental and
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http://www.cas.org/support/stngen/stndoc/properties.html

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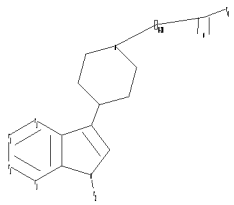

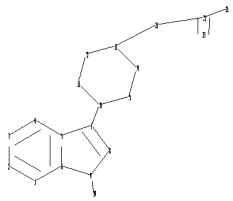

chain nodes :
19 21 22 23 25
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12 13 14 15
chain bonds :
7-10 9-19 13-21 21-22 22-25 22-23
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-9 7-8 8-9 10-11 10-15 11-12 12-13 13-14
14-15
exact/norm bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-9 7-8 7-10 8-9 9-19 10-11 10-15 11-12
12-13 13-14 13-21 14-15 21-22 22-25 22-23

G1:C,N

G2:C,H

G3:C,H,O,Cl,Br,F,I

G4:O,N

G5:H,Cy

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 19:CLASS 21:Atom 22:CLASS 23:CLASS
25:CLASS

L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1 STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.

=> s l1 sss full
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FULL SCREEN SEARCH COMPLETED - 22554 TO ITERATE

100.0% PROCESSED 22554 ITERATIONS 602 ANSWERS
SEARCH TIME: 00.00.01

L2 602 SEA SSS FUL L1

=> d scan

L2 602 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN
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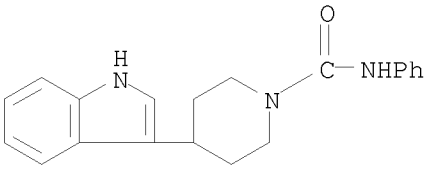

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):end

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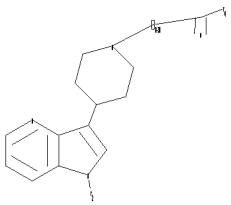

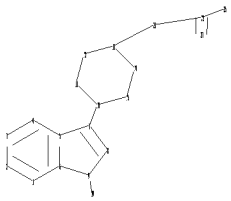

chain nodes :
19 21 22 23 25
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12 13 14 15
chain bonds :
7-10 9-19 13-21 21-22 22-25 22-23
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-9 7-8 8-9 10-11 10-15 11-12 12-13 13-14
 14-15
exact/norm bonds :
5-7 6-9 7-8 8-9 9-19 10-11 10-15 11-12 12-13 13-14 13-21 14-15 22-25
22-23
exact bonds :
7-10 21-22
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6

G1:C,N

G2:C,H

G3:C,H,O,Cl,Br,F,I

G4:O,N

G5:H,Cy

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 19:CLASS 21:Atom 22:CLASS 23:CLASS
25:CLASS

L3   STRUCTURE UPLOADED

=> d l3
L3 HAS NO ANSWERS
L3   STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.

=> s l3 sss full
FULL SEARCH INITIATED 16:00:24 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -   1698 TO ITERATE

100.0% PROCESSED   1698 ITERATIONS   7 ANSWERS
SEARCH TIME: 00.00.01

L4   7 SEA SSS FUL L3

=>
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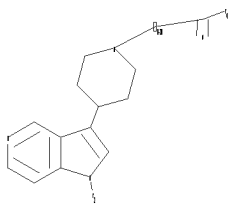
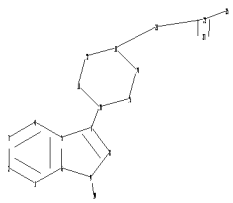




chain nodes :
19 21 22 23 25
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12 13 14 15
chain bonds :
7-10 9-19 13-21 21-22 22-25 22-23
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-9 7-8 8-9 10-11 10-15 11-12 12-13 13-14
 14-15
exact/norm bonds :
5-7 6-9 7-8 8-9 9-19 10-11 10-15 11-12 12-13 13-14 13-21 14-15 22-25
22-23
exact bonds :
7-10 21-22
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6

G1:C,N

G2:C,H

G3:C,H,O,Cl,Br,F,I

G4:O,N

G5:H,Cy

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 19:CLASS 21:Atom 22:CLASS 23:CLASS
25:CLASS

L5   STRUCTURE UPLOADED

=> d l5
L5 HAS NO ANSWERS
L5   STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

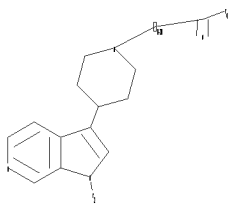
Structure attributes must be viewed using STN Express query preparation.

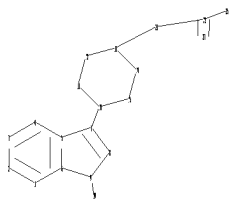
=> s l5 sss full
FULL SEARCH INITIATED 16:02:08 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -   4814 TO ITERATE

100.0% PROCESSED   4814 ITERATIONS   4 ANSWERS
SEARCH TIME: 00.00.01

L6   4 SEA SSS FUL L5

=>
Uploading C:\Program Files\Stnexp\Queries\10-509279genCx.str





chain nodes :
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ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12 13 14 15
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7-10 9-19 13-21 21-22 22-25 22-23
ring bonds :
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 14-15
exact/norm bonds :
5-7 6-9 7-8 8-9 9-19 10-11 10-15 11-12 12-13 13-14 13-21 14-15 22-25
22-23
exact bonds :
7-10 21-22
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6

G1:C,N

G2:C,H

G3:C,H,O,Cl,Br,F,I

G4:O,N

G5:H,Cy

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 19:CLASS 21:Atom 22:CLASS 23:CLASS
25:CLASS

L7   STRUCTURE UPLOADED

=> d l7
L7 HAS NO ANSWERS
L7   STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.

=> s l7 sss full
FULL SEARCH INITIATED 16:04:01 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -   2242 TO ITERATE

100.0% PROCESSED   2242 ITERATIONS   9 ANSWERS
SEARCH TIME: 00.00.01

L8   9 SEA SSS FUL L7

=>
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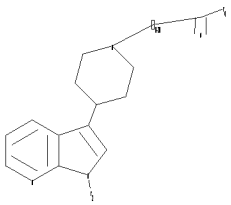

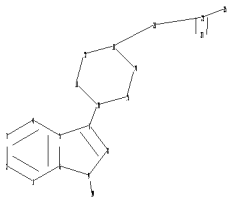

chain nodes :
19 21 22 23 25
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12 13 14 15
chain bonds :
7-10 9-19 13-21 21-22 22-25 22-23
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-9 7-8 8-9 10-11 10-15 11-12 12-13 13-14
 14-15
exact/norm bonds :
5-7 6-9 7-8 8-9 9-19 10-11 10-15 11-12 12-13 13-14 13-21 14-15 22-25
22-23
exact bonds :
7-10 21-22
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6

G1:C,N

G2:C,H

G3:C,H,O,Cl,Br,F,I

G4:O,N

G5:H,Cy

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 19:CLASS 21:Atom 22:CLASS 23:CLASS
25:CLASS

L9 STRUCTURE UPLOADED

=> d l9
L9 HAS NO ANSWERS
L9 STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.

=> s l9 sss full
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FULL SCREEN SEARCH COMPLETED - 2931 TO ITERATE

100.0% PROCESSED 2931 ITERATIONS 22 ANSWERS
SEARCH TIME: 00.00.01

L10 22 SEA SSS FUL L9

=> file caplus
COST IN U.S. DOLLARS SINCE FILE ENTRY TOTAL SESSION
FULL ESTIMATED COST 897.32 897.53

FILE 'CAPLUS' ENTERED AT 16:06:20 ON 26 JUN 2008
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FILE COVERS 1907 - 26 Jun 2008 VOL 148 ISS 26
FILE LAST UPDATED: 25 Jun 2008 (20080625/ED)

Caplus now includes complete International Patent Classification (IPC)
reclassification data for the second quarter of 2008.

Effective October 17, 2005, revised CAS Information Use Policies apply.
They are available for your review at:

http://www.cas.org/legal/infopolicy.html

=> s l4
L11 4 L4

=> d l4 1-4 abs ibib hitstr
YOU HAVE REQUESTED DATA FROM FILE 'REGISTRY' - CONTINUE? (Y)/N:n

=> file caplus
COST IN U.S. DOLLARS SINCE FILE ENTRY TOTAL SESSION
FULL ESTIMATED COST 0.48 898.01

FILE 'CAPLUS' ENTERED AT 16:07:10 ON 26 JUN 2008
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FILE COVERS 1907 - 26 Jun 2008 VOL 148 ISS 26
FILE LAST UPDATED: 25 Jun 2008 (20080625/ED)

Caplus now includes complete International Patent Classification (IPC) reclassification data for the second quarter of 2008.

Effective October 17, 2005, revised CAS Information Use Policies apply. They are available for your review at:

http://www.cas.org/legal/infopolicy.html

=> d l11 1-4 abs ibib hitstr

L11 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2008 ACS on STN
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention relates to substituted dipiperidine compds. of formula I, which are antagonists of chemoattractant cytokine receptor 2 (CCR2). In compds. I, X1 is a bond, alkylene, carbonyl, alkylenecarbamoyl, or alkylenecarbamoylalkylene; R1 is (un)substituted aryl or (un)substituted heterocyclyl; X2 is a bond or alkylene; R2 is OH, halo, (un)substituted amino, cyano, nitro, alkoxy, carboxy, alkoxycarbonyl, etc.; X3 is carbonyl, carboxyl, acyl, acyloxy, acryloyl, etc.; and R3 is (un)substituted cycloalkyl, (un)substituted aryl, or (un)substituted heterocyclyl; including salts, isomers, prodrugs, metabolites, and polymorphs thereof. The invention also relates to the preparation of I, pharmaceutical compns. comprising an effective amount of a compound I and a pharmaceutically acceptable carrier, as well as to the use of the compns.

for the prevention, treatment, or amelioration of CCR2-mediated inflammatory syndromes, disorders or diseases. α-Bromination of Et N-Boc-(piperidin-4-yl)acetate and substitution with 3-(piperidin-4-yl)-1H-indole gave N-Boc-dipiperidine II, which underwent hydride reduction, separation of enantiomers by chiral HPLC, deprotection, and amidation with (E)-3,4,5-trifluorocinnamoyl chloride, resulting in the formation of dipiperidine III. Several compds. of the invention are very active as CCR2 antagonists, e.g., compound III expresses IC50 values of 0.6 nM, 0.05 nM, and 2 nM in assays for MCP-1 receptor binding, inhibition of MCP-1-induced calcium ion influx, and inhibition of MCP-1-induced chemotaxis, resp.

ACCESSION NUMBER: 2006:299097 CAPLUS
DOCUMENT NUMBER: 144:350550
TITLE: Substituted dipiperidines as CCR2 antagonists, their preparation, pharmaceutical compositions, and use in therapy
INVENTOR(S): Xia, Mingde; Wachter, Michael P.; Pan, Meng; Demong, Duane E.; Pollack, Scott R.
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 131 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20060069123	A1	20060330	US 2005-224215	20050912
AU 2005290028	A1	20060406	AU 2005-290028	20050912
CA 2582225	A1	20060406	CA 2005-2582225	20050912
WO 2006036527	A1	20060406	WO 2005-US32500	20050912
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RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
EP 1802602	A1	20070704	EP 2005-797411	20050912
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NO 2007002065	A	20070615	NO 2007-2065	20070423
KR 2007063562	A	20070619	KR 2007-709314	20070424
IN 2007KN01510	A	20070727	IN 2007-KN1510	20070427

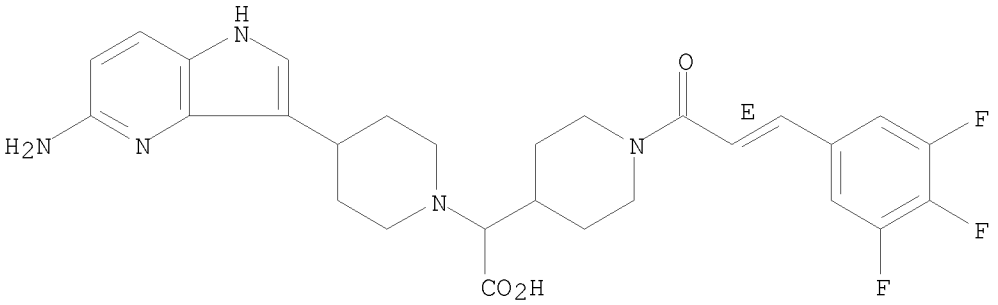
PRIORITY APPLN. INFO.: US 2004-613922P P 20040928
WO 2005-US32500 W 20050912
OTHER SOURCE(S): MARPAT 144:350550
IT 881016-71-3P 881016-75-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of substituted dipiperidines as CCR2 antagonists)

RN 881016-71-3 CAPLUS

CN 1-Piperidineacetic acid, 4-(5-amino-1H-pyrrolo[3,2-b]pyridin-3-yl)-α-[1-[(2E)-1-oxo-3-(3,4,5-trifluorophenyl)-2-propen-1-yl]-4-piperidinyl]-(CA INDEX NAME)

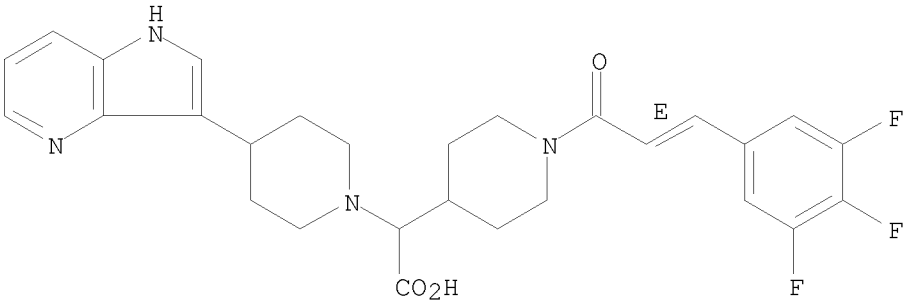
Double bond geometry as shown.



RN 881016-75-7 CAPLUS

CN 1-Piperidineacetic acid, α-[1-[(2E)-1-oxo-3-(3,4,5-trifluorophenyl)-2-propen-1-yl]-4-piperidinyl]-4-(1H-pyrrolo[3,2-b]pyridin-3-yl)- (CA INDEX NAME)

Double bond geometry as shown.



L11 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2008 ACS on STN

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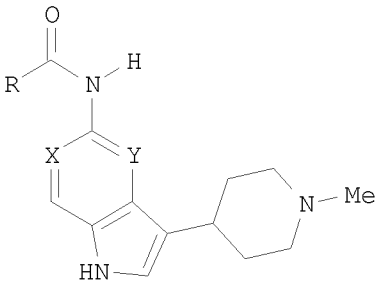
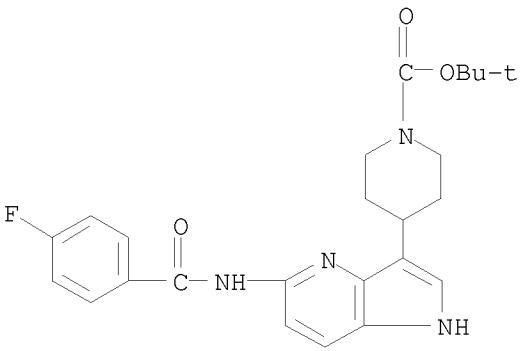


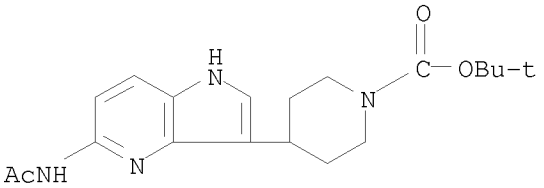
I

AB 5-Amidoindole I [X = Y = CH, R = 4-FC6H4; (II)] (LY334370), a selective 5-HT1F receptor agonist (SSOFRA), inhibited dural inflammation in the neurogenic plasma protein extravasation model of migraine and demonstrated clin. efficacy for the acute treatment of migraine. Although II was greater than 100-fold selective over both the 5-HT1B and 5-HT1D receptors, it exhibited appreciable 5-HT1A receptor affinity. Thus, a series of pyrrolo[2,3-c]pyridines I (X = N; Y = CH; R = Me, 4-FC6H4) and pyrrolo[3,2-b]pyridines I (X = CH; Y = N; R = Me, Et, Ph, 3-thienyl, 2-furyl, 2-pyridyl, cyclopropyl, etc.) as well as pyrrolo[3,2-d]pyrimidines I (X = Y = N; R = Me, 4-FC6H4) were synthesized as analogs of II and evaluated in an effort to identify SSOFRAs with improved selectivity over other 5-HT1 receptor subtypes. I (X = CH, Y = N, R = 4-FC6H4) showed high 5-HT1F receptor affinity but offered no improvement in selectivity compared to II; however, I (X = CH, Y = N, R = Me) was greater than 100-fold selective over the 5-HT1A, 5-HT1B, and 5-HT1D receptors. SAR studies of this series determined that alkylamides in particular exhibited high selectivity for the 5-HT1F receptor. These SAR studies identified SSOFRAs that demonstrated oral activity in the neurogenic plasma protein extravasation model, a model indicative of antimigraine activity.

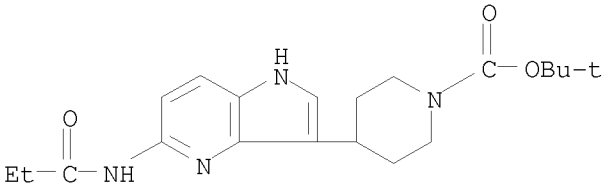
ACCESSION NUMBER: 2003:424685 CAPLUS
DOCUMENT NUMBER: 139:149602
TITLE: Novel Potent 5-HT1F Receptor Agonists: Structure-Activity Studies of a Series of Substituted N-[3-(1-Methyl-4-piperidinyl)-1H-pyrrolo[3,2-b]pyridin-5-yl]amides
AUTHOR(S): Filla, Sandra A.; Mathes, Brian M.; Johnson, Kirk W.; Phebus, Lee A.; Cohen, Marlene L.; Nelson, David L.; Zgombick, John M.; Erickson, Jon A.; Schenck, Kathryn W.; Wainscott, David B.; Branchek, Theresa A.; Schaus, John M.
CORPORATE SOURCE: Lilly Research Laboratories, Eli Lilly and Company, Indianapolis, IN, 46285, USA
SOURCE: Journal of Medicinal Chemistry (2003), 46(14), 3060-3071
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 139:149602
IT 570386-12-8 570386-14-0 570386-16-2
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of amido- and sulfonamido-substituted pyrrolopyridines and pyrrolopyrimidines as selective 5-HT1F receptor agonists)
RN 570386-12-8 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[5-[(4-fluorobenzoyl)amino]-1H-pyrrolo[3,2-b]pyridin-3-yl]-, 1,1-dimethylethyl ester (CA INDEX NAME)



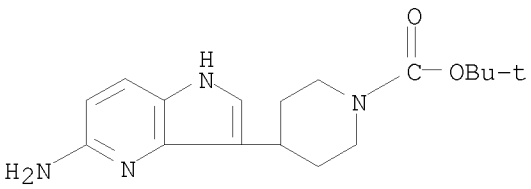
RN 570386-14-0 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[5-(acetylamino)-1H-pyrrolo[3,2-b]pyridin-3-yl]-, 1,1-dimethylethyl ester (CA INDEX NAME)



RN 570386-16-2 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[5-[(1-oxopropyl)amino]-1H-pyrrolo[3,2-b]pyridin-3-yl]-, 1,1-dimethylethyl ester (CA INDEX NAME)



IT 207849-70-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of amido- and sulfonamido-substituted pyrrolopyridines and pyrrolopyrimidines as selective 5-HT1F receptor agonists)
RN 207849-70-5 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-(5-amino-1H-pyrrolo[3,2-b]pyridin-3-yl)-, 1,1-dimethylethyl ester (CA INDEX NAME)



REFERENCE COUNT: 44 THERE ARE 44 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2008 ACS on STN
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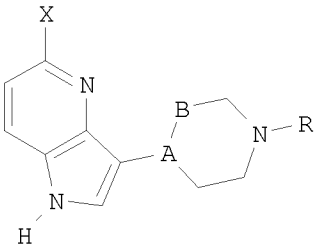


I

AB The title compds. [I; AB = C:CH, CHCH2; R = H, C1-6 alkyl, PhCH2, phenylethyl; X = NR1SO2R2, NHC(Q)NR3R4, NHC(O)OR5, NR1C(O)R6 (wherein Q = O, S; R1 = H, C1-4 alkyl; R2 = C1-4 alkyl, (un)substituted Ph; R3, R4 = H, C1-6 alkyl, C3-6 alkenyl, etc.; R3R4 together with the nitrogen atom to which they are attached = pyrrolidine, piperidine, (un)substituted piperazine, etc.; R5 = C1-6 alkyl, C3-6 alkenyl, (un)substituted Ph, etc.; R6 = C1-10 alkyl, C2-10 alkenyl, C2-10 alkynyl, etc.)], useful in treating conditions associated with 5-HT1F activation such as migraine or chronic pain, and for the prevention or inhibition of neuronal protein extravasation, were prepared and formulated. Thus, reaction of 5-amino-3-(1-methylpiperidin-4-yl)pyrrolo[3,2-b]pyridine (preparation described) with cyclopropanecarbonyl chloride in pyridine afforded 56% I [AB = CHCH2; R = Me; X = N-(cyclopropanecarbonyl)amino]. Compds. I are effective at 0.1-15 mg/kg/day.

ACCESSION NUMBER: 1998:650038 CAPLUS
DOCUMENT NUMBER: 129:275837
ORIGINAL REFERENCE NO.: 129:56245a,56248a
TITLE: Preparation of pyrrolo[3,2-b]pyridines as 5-HT1F agonists
INVENTOR(S): Filla, Sandra Ann; Johnson, Kirk W.; Phebus, Lee A.; Schaus, John Mehnert
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: U.S., 32 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

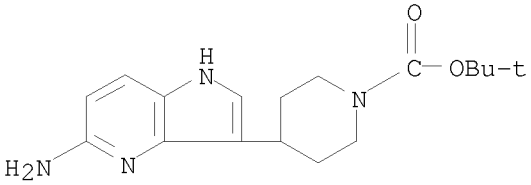
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5817671	A	19981006	US 1997-969851	19971114
US 5919936	A	19990706	US 1998-112560	19980709
US 5998622	A	19991207	US 1998-112562	19980709

PRIORITY APPLN. INFO.: US 1997-969851 A3 19971114
OTHER SOURCE(S): MARPAT 129:275837

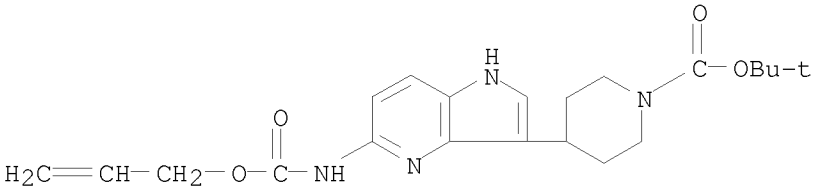
IT 207849-70-5
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of pyrrolo[3,2-b]pyridines as 5-HT1F agonists)

RN 207849-70-5 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-(5-amino-1H-pyrrolo[3,2-b]pyridin-3-yl)-, 1,1-dimethylethyl ester (CA INDEX NAME)



IT 207849-83-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of pyrrolo[3,2-b]pyridines as 5-HT1F agonists)
RN 207849-83-0 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[5-[[(2-propenyloxy)carbonyl]amino]-1H-pyrrolo[3,2-b]pyridin-3-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2008 ACS on STN
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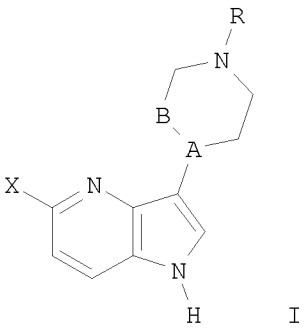


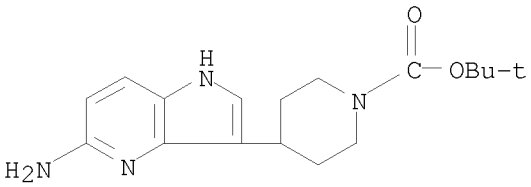
AB The title compds. [I; AB = C:CH, CHCH2; R = H, C1-6 alkyl, PhCH2, PhCH2CH2; X = NR1SO2R2, NHC(Q)NR3R4, NHC(O)OR5, NR1C(O)R6 (wherein Q = O, S; R1 = H, C1-4 alkyl; R2 = C1-4 alkyl, (un)substituted Ph; R3, R4 = H, C1-6 alkyl, C3-6 alkenyl, etc.; NR3R4 = pyrrolidino, piperidino, morpholino, etc.; R5 = C1-6 alkyl, C3-6 alkenyl, (un)substituted Ph, etc.; R6 = (un)substituted C1-10 alkyl, C2-10 alkenyl, C2-10 alkynyl, etc.)], 5-HT1F agonists useful in the treatment of migraine, chronic pain, and for the prevention or inhibition of neuronal protein extravasation, were prepared Thus, reaction of 5-amino-3-(1-methylpiperidin-4-yl)pyrrolo[3,2-

b]pyridine (preparation described) with cyclopropylcarbonyl chloride in pyridine afforded 56% I [AB = CHCH2; R = Me; X = N-(cyclopropylcarbonyl)amino]. Compds. I are effective at 0.1-15 mg/kg/day.

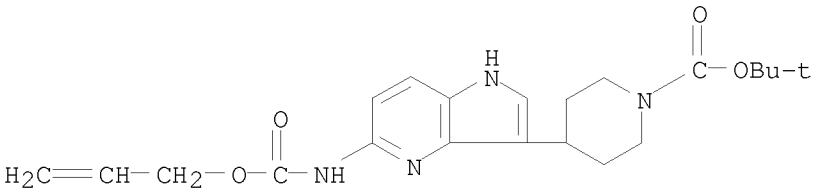
ACCESSION NUMBER: 1998:344364 CAPLUS
DOCUMENT NUMBER: 129:27901
ORIGINAL REFERENCE NO.: 129:5947a,5950a
TITLE: Preparation of pyrrolo[3,2-b]pyridines as 5-HT1F agonists
INVENTOR(S): Filla, Sandra Ann; Schaus, John Mehnert; Phebus, Lee Alan; Johnson, Kirk Willis
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: Eur. Pat. Appl., 50 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 842934	A1	19980520	EP 1997-309106	19971112
EP 842934	B1	20031029		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
ZA 9709961	A	19990505	ZA 1997-9961	19971105
IN 1997CA02126	A	20050311	IN 1997-CA2126	19971111
EP 1082958	A2	20010314	EP 2000-203526	19971112
EP 1082958	A3	20021211		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO				
AT 253063	T	20031115	AT 1997-309106	19971112
PT 842934	T	20040331	PT 1997-309106	19971112
ES 2210463	T3	20040701	ES 1997-309106	19971112
CA 2271272	A1	19980522	CA 1997-2271272	19971113
WO 9820875	A1	19980522	WO 1997-US20630	19971113
W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, GH, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, RO, RU, SD, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9854352	A	19980603	AU 1998-54352	19971113
JP 2001503774	T	20010321	JP 1998-522777	19971113
NO 9901974	A	19990426	NO 1999-1974	19990426
PRIORITY APPLN. INFO.:			US 1996-30950P P	19961115
			EP 1997-309106 A3	19971112
			WO 1997-US20630 W	19971113

OTHER SOURCE(S): MARPAT 129:27901
IT 207849-70-5P 207849-83-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of pyrrolo[3,2-b]pyridines as 5-HT1F agonists)
RN 207849-70-5 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-(5-amino-1H-pyrrolo[3,2-b]pyridin-3-yl)-, 1,1-dimethylethyl ester (CA INDEX NAME)



RN 207849-83-0 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[5-[[(2-propenyloxy)carbonyl]amino]-1H-pyrrolo[3,2-b]pyridin-3-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> s l6
L12 2 L6

=> d l12 1-2 abs ibib hitstr

L12 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2008 ACS on STN
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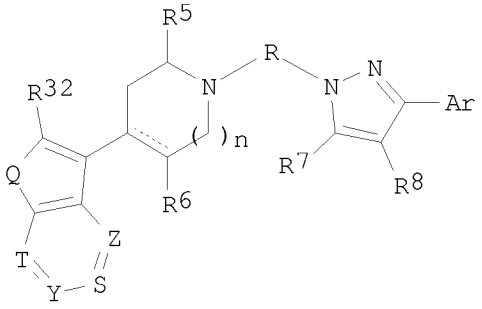
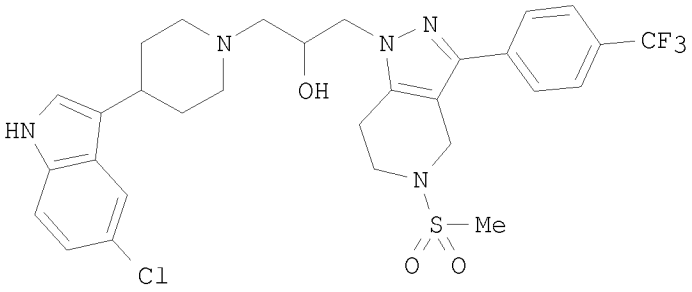


I



II

AB Title compds. I [wherein Ar = (un)substituted mono- or bicyclic (hetero)aryl; G = (un)substituted alkenediyl or alkanediyl; Q = O, S, or (un)substituted N; S, T, Y, and Z = independently N or (un)substituted C; R5 and R6 = independently H or alkyl; R7 and R8 = independently H, alkyl, alkenyl, alkoxy, alkylthio, halo, carbocyclyl, or heterocyclyl; or R7R8 = (un)substituted carbocyclic or heterocyclic ring; R32 = H, (hydroxy)alkyl, CN, acyl, carbamoyl, CHO, or alkoxycarbonyl; n = 0-2; or pharmaceutically acceptable salts, amides, esters, or stereoisomers thereof] were prepared as cathepsin S inhibitors for the treatment of an allergic condition, including an atopic allergic conditions. For example, 1-methanesulfonylpiperidin-4-one (preparation given) was condensed with morpholine in the presence of TsOH to give the enamine. Reaction with 4-CF3C6H4COCl, followed by cycloaddn. with H2NNH2, gave 5-methanesulfonyl-3-(4-trifluoromethylphenyl)-4,5,6,7-tetrahydro-1H-pyrazol[4,3-c]pyridine (72%). Alkylation with epichlorohydrin (35%) and addition of 5-chloro-3-piperidin-4-yl-1H-indole (preparation given) afforded II (88%). The latter inhibited recombinant human cathepsin S with IC50 of 0.07 μM.

ACCESSION NUMBER: 2002:184900 CAPLUS
DOCUMENT NUMBER: 136:247577
TITLE: Preparation of 3-phenyl-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridines as cathepsin S inhibitors for treating allergies
INVENTOR(S): Cai, Hui; Edwards, James P.; Gu, Yin; Karlsson, Lars; Meduna, Steven P.; Pio, Barbara A.; Sun, Siquan; Thurmond, Robin L.; Wei, Jianmei
PATENT ASSIGNEE(S): Ortho McNeil Pharmaceutical, Inc., USA
SOURCE: PCT Int. Appl., 115 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 9
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

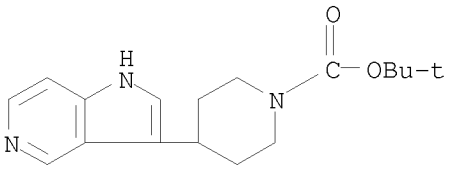
WO 2002020013	A2	20020314	WO 2001-US27480	20010905
WO 2002020013	A3	20020620		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 20020040019	A1	20020404	US 2001-927188	20010810
US 6635633	B2	20031021		
CA 2421510	A1	20020314	CA 2001-2421510	20010905
AU 2001088731	A	20020322	AU 2001-88731	20010905
EP 1315492	A2	20030604	EP 2001-968487	20010905
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004508330	T	20040318	JP 2002-524497	20010905
CN 1505509	A	20040616	CN 2001-818504	20010905
NZ 524682	A	20041126	NZ 2001-524682	20010905
RU 2259202	C2	20050827	RU 2003-106190	20010905
AU 2001288731	B2	20051215	AU 2001-288731	20010905
IN 2003KN00264	A	20041009	IN 2003-KN264	20030303
MX 2003PA01962	A	20040326	MX 2003-PA1962	20030305
US 20050234102	A1	20051020	US 2005-147923	20050608
US 7265102	B2	20070904		

PRIORITY APPLN. INFO.:	US 2000-230407P	P	20000906
	US 2001-927188	A	20010810
	US 2000-225178P	P	20000814
	WO 2001-US27480	W	20010905
	US 2003-401486	A1	20030328

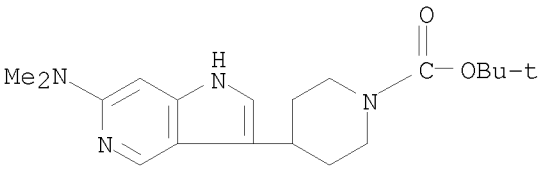
OTHER SOURCE(S): MARPAT 136:247577

IT 400801-80-1P, 4-(1H-Pyrrolo[3,2-c]pyridin-3-yl)-piperidine-1-carboxylic acid tert-butyl ester 400801-90-3P, 4-(6-Dimethylamino-1H-pyrrolo[3,2-c]pyridin-3-yl)-piperidine-1-carboxylic acid tert-butyl ester 400801-95-8P, 4-(6-Morpholin-4-yl-1H-pyrrolo[3,2-c]pyridin-3-yl)-piperidine-1-carboxylic acid tert-butyl ester 400801-99-2P, 4-(6-Morpholin-4-yl-5-oxy-1H-pyrrolo[3,2-c]pyridin-3-yl)-piperidine-1-carboxylic acid tert-butyl ester
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of phenylpyrazolopyridine antiallergy agents from piperidinones, benzoyl chlorides, and hydrazine)

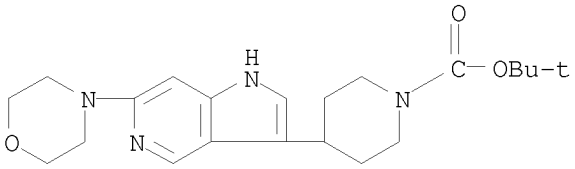
RN 400801-80-1 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-(1H-pyrrolo[3,2-c]pyridin-3-yl)-, 1,1-dimethylethyl ester (CA INDEX NAME)



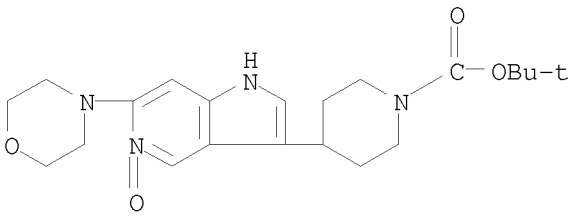
RN 400801-90-3 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[6-(dimethylamino)-1H-pyrrolo[3,2-c]pyridin-3-yl]-, 1,1-dimethylethyl ester (CA INDEX NAME)



RN 400801-95-8 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[6-(4-morpholinyl)-1H-pyrrolo[3,2-c]pyridin-3-yl]-, 1,1-dimethylethyl ester (CA INDEX NAME)



RN 400801-99-2 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[6-(4-morpholinyl)-5-oxido-1H-pyrrolo[3,2-c]pyridin-3-yl]-, 1,1-dimethylethyl ester (CA INDEX NAME)



L12 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2008 ACS on STN
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* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Substituted pyrazoles I, methods of manufacturing them, compns. containing them, and
methods of using them to treat, for example, autoimmune diseases mediated by cathepsin S, are described [W, X, Y, Z = N, (un)substituted CH (0-3 of them may be N; or 1 can be N-oxide when other 3 ≠ N); R = H, alkyl, cyano, hydroxyalkyl, acyl, CHO, alkoxycarbonyl, or (un)substituted carbamoyl; R1, R2 = H, alkyl; R3, R4 = H, alkyl, alkenyl, alkoxy, alkylthio, halo, or 4- to 7-membered carbo- or heterocyclyl; or R3R4 = atoms to form (un)substituted (un)saturated (non)aromatic 5- to 7-membered carbo-
or heterocyclic ring; Ar = (un)substituted mono- or bicyclic (hetero)aryl; n = 0-2; G = (un)substituted C3-6 alkanediyl or alkenediyl (substituents = OH, halo, oxo, aminoalkyl, etc.); Q = O, S, (un)substituted NH; including stereoisomers, pharmaceutically acceptable salts, esters, and amides]. Claimed uses include treatment of lupus, rheumatoid arthritis, and particularly asthma, and inhibition of tissue transplant rejection.

Approx. 70 individual compds. I were prepared and/or claimed, with detailed prepns. given for 13 compds. For instance, 6-(morpholin-4-yl)-3-(piperidin-4-yl)-1H-pyrrolo[3,2-c]pyridine (prepared in 5 steps) reacted with the corresponding epoxide (prepared in several steps) to give title compound II, a preferred compound In an assay for inhibition of recombinant human cathepsin S in vitro, II had an IC50 of 0.02 μM. Compound III is another one of four specifically preferred compds.

ACCESSION NUMBER: 2002:142709 CAPLUS
DOCUMENT NUMBER: 136:200183

TITLE: Substituted and/or fused pyrazoles, particularly indolylpiperidinylpropyl-substituted pyrazolopyridines, useful as cathepsin S inhibitors, and their pharmaceutical compositions and use as immunosuppressants

INVENTOR(S): Cai, Hui; Edwards, James P.; Meduna, Steven P.; Pio, Barbara A.; Wei, Jianmei
PATENT ASSIGNEE(S): Ortho McNeil Pharmaceutical, Inc., USA

SOURCE: PCT Int. Appl., 119 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 9

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002014317	A2	20020221	WO 2001-US25180	20010810
WO 2002014317	A3	20020704		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2419550	A1	20020221	CA 2001-2419550	20010810
AU 2001084823	A	20020225	AU 2001-84823	20010810
US 20020040019	A1	20020404	US 2001-927188	20010810
US 6635633	B2	20031021		
EP 1309592	A2	20030514	EP 2001-963912	20010810
EP 1309592	B1	20060426		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004512273	T	20040422	JP 2002-519457	20010810
NZ 524192	A	20050225	NZ 2001-524192	20010810
AT 324372	T	20060515	AT 2001-963912	20010810
RU 2278863	C2	20060627	RU 2003-107014	20010810
PT 1309592	T	20060731	PT 2001-963912	20010810
AU 2001284823	B2	20061130	AU 2001-284823	20010810
ES 2262674	T3	20061201	ES 2001-963912	20010810
CN 1982308	A	20070620	CN 2006-10146464	20010810
MX 2003PA01420	A	20040126	MX 2003-PA1420	20030214
IN 2003KN00191	A	20051202	IN 2003-KN191	20030214
ZA 2003002051	A	20040625	ZA 2003-2051	20030313
ZA 2003002056	A	20040702	ZA 2003-2056	20030313
US 20030225062	A1	20031204	US 2003-402694	20030328
US 6936603	B2	20050830		
US 20030225063	A1	20031204	US 2003-402696	20030328
US 6951851	B2	20051004		
US 20030229075	A1	20031211	US 2003-401486	20030328

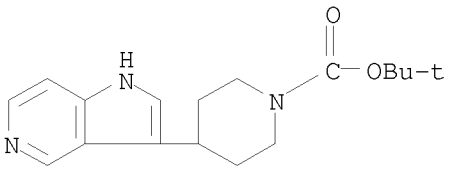
US 6949540	B2	20050927			
HK 1052705	A1	20060929	HK 2003-105032		20030711
US 20040044027	A1	20040304	US 2003-638032		20030808
US 20050234102	A1	20051020	US 2005-147923		20050608
US 7265102	B2	20070904			
PRIORITY APPLN. INFO.:			US 2000-225178P	P	20000814
			US 2001-927188	A	20010810
			CN 2001-817066	A3	20010810
			US 2001-927324	A	20010810
			WO 2001-US25180	W	20010810
			US 2003-401486	A1	20030328

OTHER SOURCE(S): MARPAT 136:200183

IT 400801-80-1P, 4-(1H-Pyrrolo[3,2-c]pyridin-3-yl)piperidine-1-carboxylic acid tert-butyl ester 400801-90-3P, 4-(6-Dimethylamino-1H-pyrrolo[3,2-c]pyridin-3-yl)piperidine-1-carboxylic acid tert-butyl ester 400801-95-8P, 4-(6-Morpholin-4-yl-1H-pyrrolo[3,2-c]pyridin-3-yl)piperidine-1-carboxylic acid tert-butyl ester 400801-99-2P, 4-(6-Morpholin-4-yl-5-oxy-1H-pyrrolo[3,2-c]pyridin-3-yl)piperidine-1-carboxylic acid tert-butyl ester
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of indolylpiperidinylpropyl-substituted pyrazolopyridines and analogs as cathepsin S inhibitors)

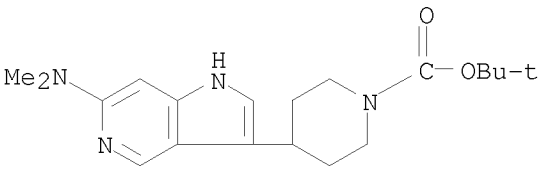
RN 400801-80-1 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-(1H-pyrrolo[3,2-c]pyridin-3-yl)-, 1,1-dimethylethyl ester (CA INDEX NAME)



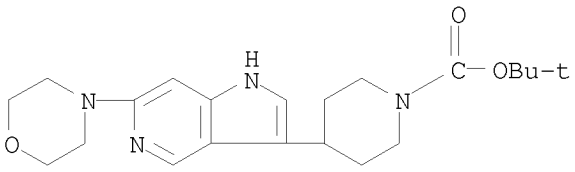
RN 400801-90-3 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[6-(dimethylamino)-1H-pyrrolo[3,2-c]pyridin-3-yl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

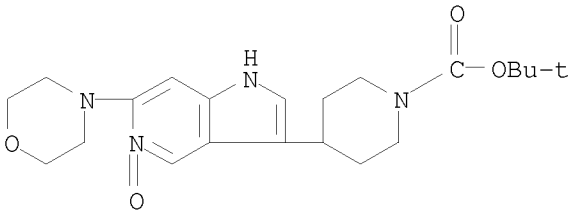


RN 400801-95-8 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[6-(4-morpholinyl)-1H-pyrrolo[3,2-c]pyridin-3-yl]-, 1,1-dimethylethyl ester (CA INDEX NAME)



RN 400801-99-2 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[6-(4-morpholinyl)-5-oxido-1H-pyrrolo[3,2-c]pyridin-3-yl]-, 1,1-dimethylethyl ester (CA INDEX NAME)



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L13 6 L8

=> d l13 1-6 abs ibib hitstr

L13 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2008 ACS on STN
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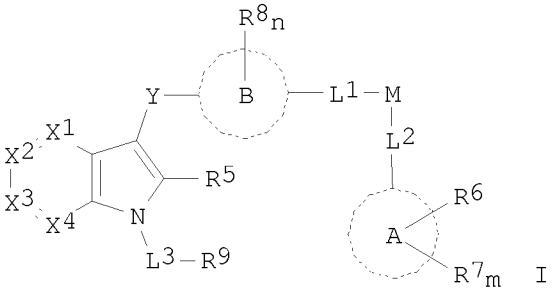

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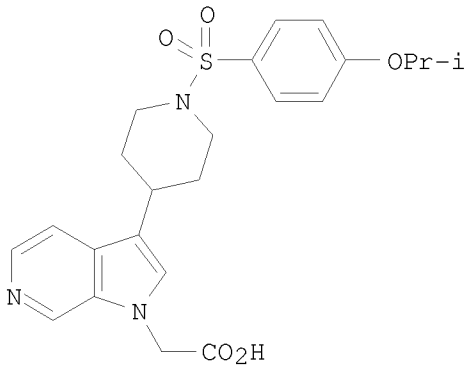


II

AB The object is to produce an azaindole derivative having a DP receptor antagonistic activity and a pharmaceutical composition comprising the compound as
an active ingredient and to provide a therapeutic agent for an allergic disease. A compound represented by the general formula I, a pharmaceutically acceptable salt thereof or a hydrate of the compound or salt: wherein the ring A represents an aromatic carbon ring or the like; the

ring B represents a nitrogenated non-aromatic 3- to 8-membered heterocyclic ring or the like; the formula -X1=X2-X3=X4- is -C(R1)=C(R2)-C(R3)=N- or the like; R1, R2, R3, R4 and R5 independently represent a hydrogen atom, a halogen atom or the like; R6 represents a C1-C6 alkyloxy which may be substituted or the like; R7's independently represent a halogen atom or the like; R8 represents an alkyl group which may be substituted; R9 represents a carboxy or the like; M represents a sulfonyl or the like; Y represents a single bond or the like; L1, L2 and L3 independently represent a single bond, an alkylene into which 1 to 2 heteroatoms may be inserted or the like; n is 0 or the like; and m is 0 or the like. For example, II was provided in a multi-step synthesis starting from the reaction of 1H-pyrrolo[2,3-c]pyridin with 1-(tert-butoxycarbonyl)-4-piperidone. I were tested for inhibition of DP receptor, prostanoid agonistic and antagonistic activity, and etc.

ACCESSION NUMBER: 2007:87424 CAPLUS
DOCUMENT NUMBER: 146:184424
TITLE: Preparation of azaindole derivatives having PGD2 receptor antagonistic activity
INVENTOR(S): Kugimiya, Akira; Makino, Itsuo; Onodera, Naohiro
PATENT ASSIGNEE(S): Shionogi & Co., Ltd., Japan
SOURCE: PCT Int. Appl., 169pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

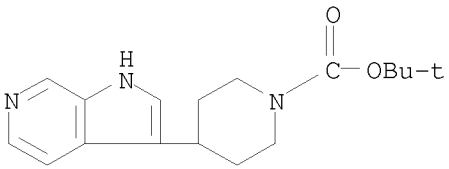
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007010965	A1	20070125	WO 2006-JP314346	20060720
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
EP 1911759	A1	20080416	EP 2006-781306	20060720
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				

PRIORITY APPLN. INFO.:
JP 2005-212910 A 20050722
JP 2005-362754 A 20051216
WO 2006-JP314346 W 20060720

OTHER SOURCE(S): MARPAT 146:184424

IT 921196-58-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of azaindole derivative having PGD2 receptor antagonistic activity)

RN 921196-58-9 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-(1H-pyrrolo[2,3-c]pyridin-3-yl)-, 1,1-dimethylethyl ester (CA INDEX NAME)



REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2008 ACS on STN
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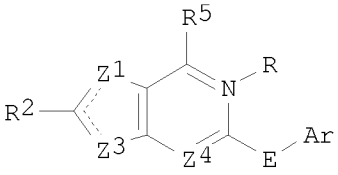

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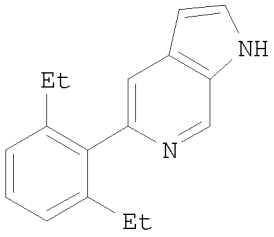


II

AB The title compds. I [E = a bond, O, SOm, NR6, CR6R7; R6, R7 = H, alkyl; m = 0-2; Ar = (un)substituted Ph, 1- and 2-naphthyl, heteroaryl; 5-membered ring containing Z1 and Z2 atoms contains exactly one heteroatom; Z1 = CR1 or NR11; Z3 = CR3, NR31; R1, R11 = alkyl, cycloalkyl, aryl, etc.; R2 = H, halo, OH, etc.; R3, R31 = alkyl, haloalkyl, alkoxy, etc.; Z4 = NR, CR4; R is absent or oxygen; R4, R5 = H, halo, OH, etc.] which are ligands of C5a receptors, were prepared and formulated. E.g., a 2-step synthesis of II, starting from 2-chloro-4-methyl-5-nitropyridine and 2,6-diethylphenylboronic acid, was given. Preferred pyrrolo-pyridine, pyrrolo-pyrimidine and related heterocyclic compds. of the invention (I) bind to C5a receptors with high affinity and exhibit neutral antagonist or inverse agonist activity at C5a receptors. The present invention also relates to pharmaceutical compns. comprising such compds. I, and to the use of such compds. in treating a variety of inflammatory, cardiovascular, and immune system disorders. In addition, the present invention provides labeled pyrrolo-pyridine, pyrrolo-pyrimidine and related heterocyclic compds., which are useful as probes for the localization of C5a receptors.

ACCESSION NUMBER: 2006:366881 CAPLUS
DOCUMENT NUMBER: 144:412478
TITLE: Preparation of pyrrolo-pyridine, pyrrolo-pyrimidine and related heterocyclic compounds as ligands of C5a receptors
INVENTOR(S): Yuan, Jun; Hrnciar, Peter; Guo, Qin; Maynard, George D.
PATENT ASSIGNEE(S): Neurogen Corporation, USA
SOURCE: PCT Int. Appl., 124 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006042102	A2	20060420	WO 2005-US36126	20051005

WO 2006042102 A3 20070222
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: US 2004-616311P P 20041005

OTHER SOURCE(S): MARPAT 144:412478

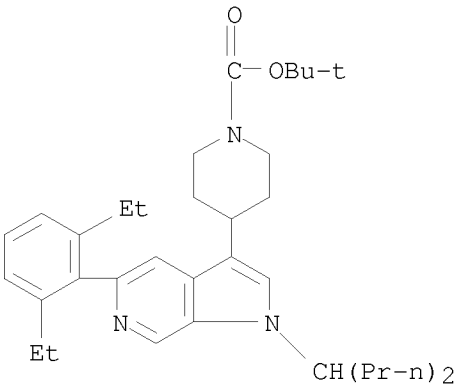
IT 884321-18-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyrrolo-pyridine, pyrrolo-pyrimidine and related heterocyclic compds. that are ligands of C5a receptors useful in treatment of inflammatory, cardiovascular, and immune system disorders)

RN 884321-18-0 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[5-(2,6-diethylphenyl)-1-(1-propylbutyl)-1H-pyrrolo[2,3-c]pyridin-3-yl]-, 1,1-dimethylethyl ester (CA INDEX NAME)



L13 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2008 ACS on STN

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* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention relates to substituted dipiperidine compds. of formula I, which are antagonists of chemoattractant cytokine receptor 2 (CCR2). In compds. I, X1 is a bond, alkylene, carbonyl, alkylenecarbamoyl, or alkylenecarbamoylalkylene; R1 is (un)substituted aryl or (un)substituted heterocyclyl; X2 is a bond or alkylene; R2 is OH, halo, (un)substituted amino, cyano, nitro, alkoxy, carboxy, alkoxycarbonyl, etc.; X3 is carbonyl, carboxyl, acyl, acyloxy, acryloyl, etc.; and R3 is (un)substituted cycloalkyl, (un)substituted aryl, or (un)substituted heterocyclyl; including salts, isomers, prodrugs, metabolites, and polymorphs thereof. The invention also relates to the preparation of I,

pharmaceutical compns. comprising an effective amount of a compound I and a pharmaceutically acceptable carrier, as well as to the use of the compns. for the prevention, treatment, or amelioration of CCR2-mediated inflammatory syndromes, disorders or diseases. α-Bromination of Et N-Boc-(piperidin-4-yl)acetate and substitution with 3-(piperidin-4-yl)-1H-indole gave N-Boc-dipiperidine II, which underwent hydride reduction, separation of enantiomers by chiral HPLC, deprotection, and amidation with (E)-3,4,5-trifluorocinnamoyl chloride, resulting in the formation of dipiperidine III. Several compds. of the invention are very active as CCR2 antagonists, e.g., compound III expresses IC50 values of 0.6 nM, 0.05 nM, and 2 nM in assays for MCP-1 receptor binding, inhibition of MCP-1-induced calcium ion influx, and inhibition of MCP-1-induced chemotaxis, resp.

ACCESSION NUMBER: 2006:299097 CAPLUS
DOCUMENT NUMBER: 144:350550
TITLE: Substituted dipiperidines as CCR2 antagonists, their preparation, pharmaceutical compositions, and use in therapy
INVENTOR(S): Xia, Mingde; Wachter, Michael P.; Pan, Meng; Demong, Duane E.; Pollack, Scott R.
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 131 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20060069123	A1	20060330	US 2005-224215	20050912
AU 2005290028	A1	20060406	AU 2005-290028	20050912
CA 2582225	A1	20060406	CA 2005-2582225	20050912
WO 2006036527	A1	20060406	WO 2005-US32500	20050912
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
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EP 1802602	A1	20070704	EP 2005-797411	20050912
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CN 101065374	A	20071031	CN 2005-80040301	20050912
JP 2008514700	T	20080508	JP 2007-534623	20050912
MX 200703793	A	20070711	MX 2007-3793	20070328
NO 2007002065	A	20070615	NO 2007-2065	20070423
KR 2007063562	A	20070619	KR 2007-709314	20070424
IN 2007KN01510	A	20070727	IN 2007-KN1510	20070427

PRIORITY APPLN. INFO.: US 2004-613922P P 20040928
WO 2005-US32500 W 20050912

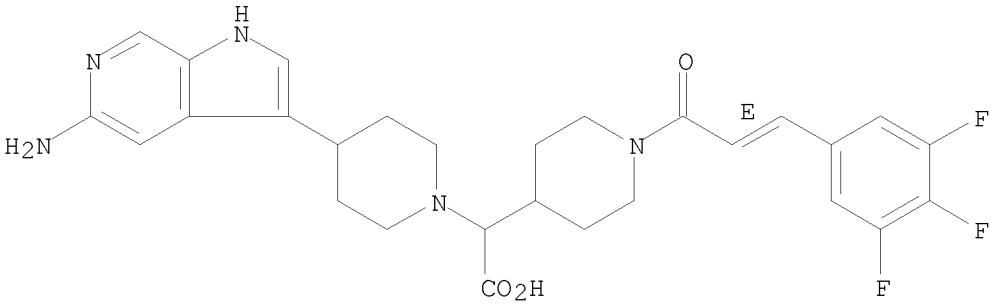
OTHER SOURCE(S): MARPAT 144:350550
IT 881016-72-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU

(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of substituted dipiperidines as CCR2 antagonists)

RN 881016-72-4 CAPLUS

CN 1-Piperidineacetic acid, 4-(5-amino-1H-pyrrolo[2,3-c]pyridin-3-yl)-α-[1-[(2E)-1-oxo-3-(3,4,5-trifluorophenyl)-2-propen-1-yl]-4-piperidinyl]- (CA INDEX NAME)

Double bond geometry as shown.



L13 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2008 ACS on STN

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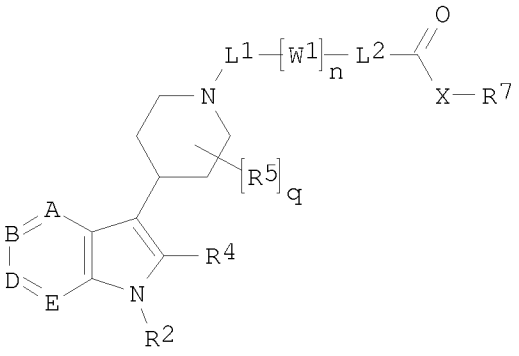


I

AB The title compds. [I; A, B, D and E = N, CR1 (with the proviso that at least one of A, B, D or E = N); R1 = H, halo, OH, etc; R2 = H, L3(W2)p; L1-L3 = a bond, (un)saturated hydrocarbon chain optionally containing 1-3 groups selected from S, O, NR3 (R3 = H, alkyl); R4, R5 = H, halo, OH, etc.; X = O, NR6; R6, R7 = H, alkyl, alkenyl, etc.; W1, W2 = (un)substituted 3-7 membered (non)aromatic ring containing 0-4 heteroatoms selected from N, O and S, which is optionally fused to another 3-7 membered (non)aromatic (hetero)cycle; n, p = 0-1; q = 1-9] which are new potent and selective antagonists of H1 histamine receptors, were prepared and formulated. E.g., a multi-step synthesis of 3-{4-[1-(2-methoxyethyl)-1H-pyrrolo[2,3-b]pyridin-3-yl]piperidin-1-ylmethyl}benzoic acid which showed IC50 of 240 nM against histamine H1 receptor binding, was given.

ACCESSION NUMBER: 2003:796703 CAPLUS

DOCUMENT NUMBER: 139:307748

TITLE: Preparation of azaindolylpiperidines as antihistaminic and antiallergic agents

INVENTOR(S): Fonquerna Pou, Silvia; Pages Santacana, Luis Miguel; Puig Duran, Carlos; Cardus Figueras, Aranzazu

PATENT ASSIGNEE(S): Almirall Prodesfarma S.A., Spain; Prieto Soto, Jose Manuel

SOURCE: PCT Int. Appl., 94 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003082867	A1	20031009	WO 2003-EP3377	20030401
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
ES 2201899	A1	20040316	ES 2002-753	20020401
ES 2201899	B1	20050601		
AU 2003226765	A1	20031013	AU 2003-226765	20030401
EP 1497292	A1	20050119	EP 2003-745302	20030401
EP 1497292	B1	20060614		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2005526816	T	20050908	JP 2003-580332	20030401
AT 329916	T	20060715	AT 2003-745302	20030401
PT 1497292	T	20061031	PT 2003-745302	20030401
ES 2265577	T3	20070216	ES 2003-745302	20030401
US 20050176751	A1	20050811	US 2005-509279	20050505

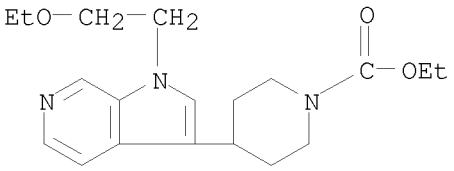
PRIORITY APPLN. INFO.: ES 2002-753 A 20020401
WO 2003-EP3377 W 20030401

OTHER SOURCE(S): MARPAT 139:307748

IT 612098-09-6P 612098-12-1P 612098-15-4P
612098-18-7P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of azaindolylpiperidines as antihistaminic and antiallergic agents)

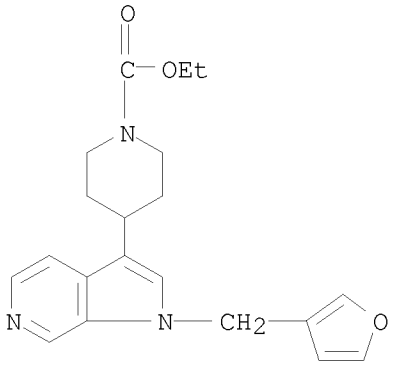
RN 612098-09-6 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[1-(2-ethoxyethyl)-1H-pyrrolo[2,3-c]pyridin-3-yl]-, ethyl ester (CA INDEX NAME)

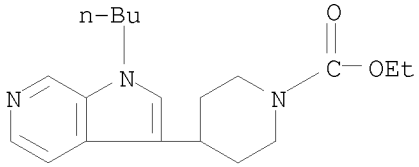


RN 612098-12-1 CAPLUS

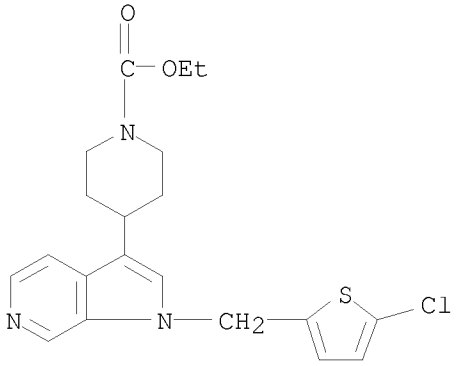
CN 1-Piperidinecarboxylic acid, 4-[1-(3-furanylmethyl)-1H-pyrrolo[2,3-c]pyridin-3-yl]-, ethyl ester (CA INDEX NAME)



RN 612098-15-4 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-(1-butyl-1H-pyrrolo[2,3-c]pyridin-3-yl)-, ethyl ester (CA INDEX NAME)

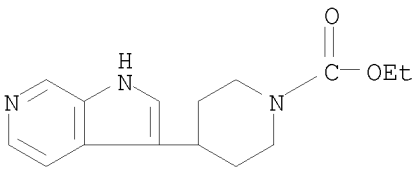


RN 612098-18-7 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[1-[(5-chloro-2-thienyl)methyl]-1H-pyrrolo[2,3-c]pyridin-3-yl]-, ethyl ester (CA INDEX NAME)



IT 612098-08-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of azaindolylpiperidines as antihistaminic and antiallergic agents)

RN 612098-08-5 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-(1H-pyrrolo[2,3-c]pyridin-3-yl)-, ethyl ester (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2008 ACS on STN
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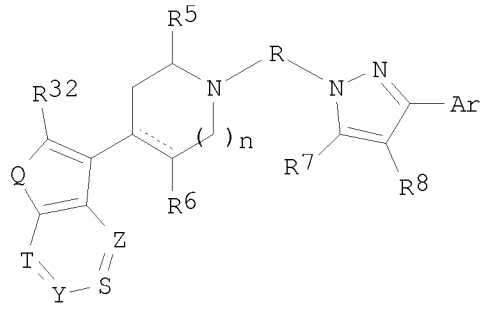

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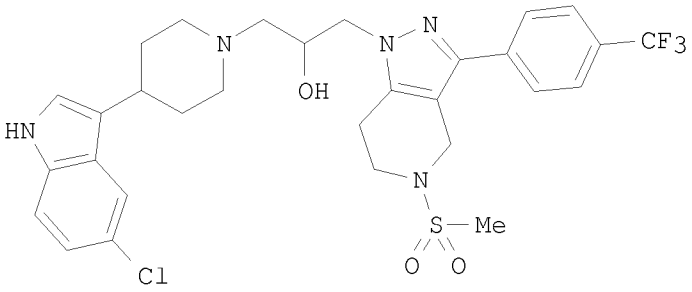


II

AB Title compds. I [wherein Ar = (un)substituted mono- or bicyclic (hetero)aryl; G = (un)substituted alkenediyl or alkanediyl; Q = O, S, or (un)substituted N; S, T, Y, and Z = independently N or (un)substituted C; R5 and R6 = independently H or alkyl; R7 and R8 = independently H, alkyl, alkenyl, alkoxy, alkylthio, halo, carbocyclyl, or heterocyclyl; or R7R8 = (un)substituted carbocyclic or heterocyclic ring; R32 = H, (hydroxy)alkyl, CN, acyl, carbamoyl, CHO, or alkoxycarbonyl; n = 0-2; or pharmaceutically acceptable salts, amides, esters, or stereoisomers thereof] were prepared as cathepsin S inhibitors for the treatment of an allergic condition, including an atopic allergic conditions. For example, 1-methanesulfonylpiperidin-4-one (preparation given) was condensed with morpholine in the presence of TsOH to give the enamine. Reaction with 4-CF3C6H4COCl, followed by cycloaddn. with H2NNH2, gave 5-methanesulfonyl-3-(4-trifluoromethylphenyl)-4,5,6,7-tetrahydro-1H-pyrazol[4,3-c]pyridine (72%). Alkylation with epichlorohydrin (35%) and addition of 5-chloro-3-piperidin-4-yl-1H-indole (preparation given) afforded II (88%). The latter inhibited recombinant human cathepsin S with IC50 of 0.07 μM.

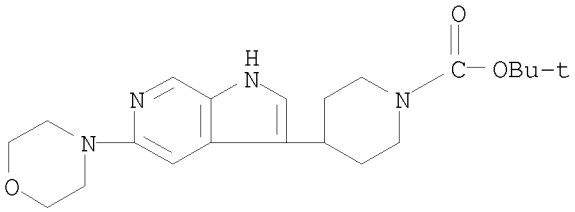
ACCESSION NUMBER: 2002:184900 CAPLUS

DOCUMENT NUMBER: 136:247577
TITLE: Preparation of 3-phenyl-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridines as cathepsin S inhibitors for treating allergies
INVENTOR(S): Cai, Hui; Edwards, James P.; Gu, Yin; Karlsson, Lars; Meduna, Steven P.; Pio, Barbara A.; Sun, Siquan; Thurmond, Robin L.; Wei, Jianmei
PATENT ASSIGNEE(S): Ortho McNeil Pharmaceutical, Inc., USA
SOURCE: PCT Int. Appl., 115 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 9
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002020013	A2	20020314	WO 2001-US27480	20010905
WO 2002020013	A3	20020620		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 20020040019	A1	20020404	US 2001-927188	20010810
US 6635633	B2	20031021		
CA 2421510	A1	20020314	CA 2001-2421510	20010905
AU 2001088731	A	20020322	AU 2001-88731	20010905
EP 1315492	A2	20030604	EP 2001-968487	20010905
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004508330	T	20040318	JP 2002-524497	20010905
CN 1505509	A	20040616	CN 2001-818504	20010905
NZ 524682	A	20041126	NZ 2001-524682	20010905
RU 2259202	C2	20050827	RU 2003-106190	20010905
AU 2001288731	B2	20051215	AU 2001-288731	20010905
IN 2003KN00264	A	20041009	IN 2003-KN264	20030303
MX 2003PA01962	A	20040326	MX 2003-PA1962	20030305
US 20050234102	A1	20051020	US 2005-147923	20050608
US 7265102	B2	20070904		

PRIORITY APPLN. INFO.:	US 2000-230407P	P	20000906
	US 2001-927188	A	20010810
	US 2000-225178P	P	20000814
	WO 2001-US27480	W	20010905
	US 2003-401486	A1	20030328

OTHER SOURCE(S): MARPAT 136:247577
IT 400801-86-7P, 4-(5-Morpholin-4-yl-1H-pyrrolo[2,3-c]pyridin-3-yl)-piperidine-1-carboxylic acid tert-butyl ester
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of phenylpyrazolopyridine antiallergy agents from piperidinones, benzoyl chlorides, and hydrazine)
RN 400801-86-7 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[5-(4-morpholinyl)-1H-pyrrolo[2,3-c]pyridin-3-yl]-, 1,1-dimethylethyl ester (CA INDEX NAME)



L13 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2008 ACS on STN
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* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Substituted pyrazoles I, methods of manufacturing them, compns. containing them, and
methods of using them to treat, for example, autoimmune diseases mediated by cathepsin S, are described [W, X, Y, Z = N, (un)substituted CH (0-3 of them may be N; or 1 can be N-oxide when other 3 ≠ N); R = H, alkyl, cyano, hydroxyalkyl, acyl, CHO, alkoxycarbonyl, or (un)substituted carbamoyl; R1, R2 = H, alkyl; R3, R4 = H, alkyl, alkenyl, alkoxy, alkylthio, halo, or 4- to 7-membered carbo- or heterocyclyl; or R3R4 = atoms to form (un)substituted (un)saturated (non)aromatic 5- to 7-membered carbo-
or heterocyclic ring; Ar = (un)substituted mono- or bicyclic (hetero)aryl; n = 0-2; G = (un)substituted C3-6 alkanediyl or alkenediyl (substituents = OH, halo, oxo, aminoalkyl, etc.); Q = O, S, (un)substituted NH; including stereoisomers, pharmaceutically acceptable salts, esters, and amides]. Claimed uses include treatment of lupus, rheumatoid arthritis, and particularly asthma, and inhibition of tissue transplant rejection. Approx. 70 individual compds. I were prepared and/or claimed, with detailed prepns. given for 13 compds. For instance, 6-(morpholin-4-yl)-3-(piperidin-4-yl)-1H-pyrrolo[3,2-c]pyridine (prepared in 5 steps) reacted with the corresponding epoxide (prepared in several steps) to give title compound II, a preferred compound In an assay for inhibition of recombinant human cathepsin S in vitro, II had an IC50 of 0.02 μM. Compound III is another one of four specifically preferred compds.

ACCESSION NUMBER: 2002:142709 CAPLUS
DOCUMENT NUMBER: 136:200183
TITLE: Substituted and/or fused pyrazoles, particularly indolylpiperidinylpropyl-substituted pyrazolopyridines, useful as cathepsin S inhibitors, and their pharmaceutical compositions and use as immunosuppressants
INVENTOR(S): Cai, Hui; Edwards, James P.; Meduna, Steven P.; Pio, Barbara A.; Wei, Jianmei
PATENT ASSIGNEE(S): Ortho McNeil Pharmaceutical, Inc., USA
SOURCE: PCT Int. Appl., 119 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 9
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

WO 2002014317	A2	20020221	WO 2001-US25180		20010810
WO 2002014317	A3	20020704			
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW					
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
CA 2419550	A1	20020221	CA 2001-2419550		20010810
AU 2001084823	A	20020225	AU 2001-84823		20010810
US 20020040019	A1	20020404	US 2001-927188		20010810
US 6635633	B2	20031021			
EP 1309592	A2	20030514	EP 2001-963912		20010810
EP 1309592	B1	20060426			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR					
JP 2004512273	T	20040422	JP 2002-519457		20010810
NZ 524192	A	20050225	NZ 2001-524192		20010810
AT 324372	T	20060515	AT 2001-963912		20010810
RU 2278863	C2	20060627	RU 2003-107014		20010810
PT 1309592	T	20060731	PT 2001-963912		20010810
AU 2001284823	B2	20061130	AU 2001-284823		20010810
ES 2262674	T3	20061201	ES 2001-963912		20010810
CN 1982308	A	20070620	CN 2006-10146464		20010810
MX 2003PA01420	A	20040126	MX 2003-PA1420		20030214
IN 2003KN00191	A	20051202	IN 2003-KN191		20030214
ZA 2003002051	A	20040625	ZA 2003-2051		20030313
ZA 2003002056	A	20040702	ZA 2003-2056		20030313
US 20030225062	A1	20031204	US 2003-402694		20030328
US 6936603	B2	20050830			
US 20030225063	A1	20031204	US 2003-402696		20030328
US 6951851	B2	20051004			
US 20030229075	A1	20031211	US 2003-401486		20030328
US 6949540	B2	20050927			
HK 1052705	A1	20060929	HK 2003-105032		20030711
US 20040044027	A1	20040304	US 2003-638032		20030808
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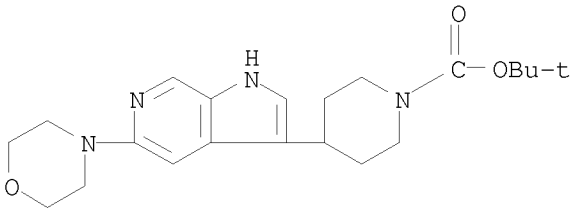
US 7265102	B2	20070904			
PRIORITY APPLN. INFO.:			US 2000-225178P	P	20000814
			US 2001-927188	A	20010810
			CN 2001-817066	A3	20010810
			US 2001-927324	A	20010810
			WO 2001-US25180	W	20010810
			US 2003-401486	A1	20030328

OTHER SOURCE(S): MARPAT 136:200183

IT 400801-86-7P, 4-(5-Morpholin-4-yl-1H-pyrrolo[2,3-c]pyridin-3-yl)piperidine-1-carboxylic acid tert-butyl ester
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of indolylpiperidinylpropyl-substituted pyrazolopyridines and analogs as cathepsin S inhibitors)

RN 400801-86-7 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[5-(4-morpholinyl)-1H-pyrrolo[2,3-c]pyridin-3-yl]-, 1,1-dimethylethyl ester (CA INDEX NAME)



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L14           9 L10

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L14  ANSWER 1 OF 9  CAPLUS  COPYRIGHT 2008 ACS on STN
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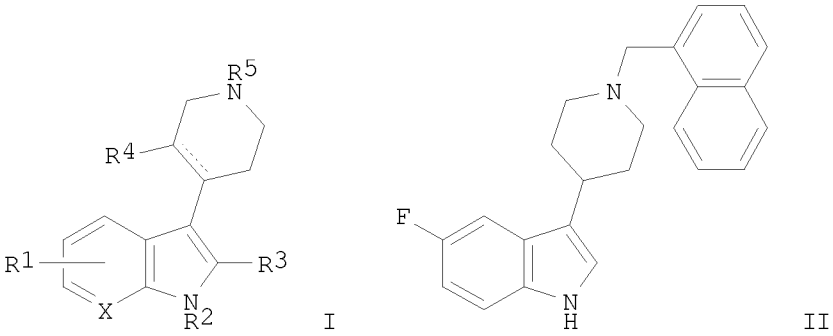


I II

AB Title compds. represented by the formula I [wherein X = CH or N; R1 = (un)substituted alkyl, alkoxy, amino, etc.; R2 = H or (cyclo)alkyl; R3 = H or alkyl; R4 = H, hydroxy or oxyacyl; R5 = H, alkyl, acyl, etc.] were prepared as ORL-1 receptor modulators. For example, II was provided in a multi-step synthesis starting from the reaction of 5-fluoro-1H-indole with tert-Bu 4-oxopiperidine-1-carboxylate. I were tested for binding activity with ORL-1, delta, kappa and mu opioid receptors. Thus, I and their pharmaceutical compns. are useful as ORL-1 receptor modulators for treating, preventing or ameliorating ORL-1 receptor mediated disorders and conditions.

ACCESSION NUMBER: 2007:484813 CAPLUS
DOCUMENT NUMBER: 146:481930
TITLE: Preparation of 3-piperidin-4-yl-indole derivatives as ORL-1 receptor modulators
INVENTOR(S): Battista, Kathleen A.; Bignan, Gilles C.; Connolly, Peter J.; Middleton, Steven A.; Orsini, Michael J.; Liu, Jessica J.; Reitz, Allen B.
PATENT ASSIGNEE(S): Janssen Pharmaceutica, N.V., Belg.
SOURCE: PCT Int. Appl., 84pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

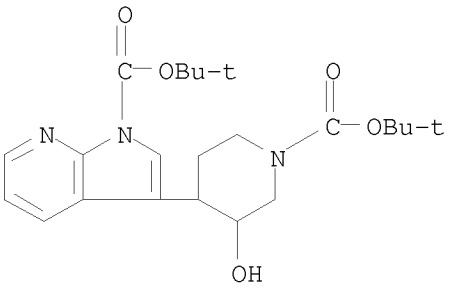
PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2007050381	A2	20070503	WO 2006-US40665		20061018
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW					
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
AU 2006306497	A1	20070503	AU 2006-306497		20061018
US 20080015214	A1	20080117	US 2006-550421		20061018
PRIORITY APPLN. INFO.:			US 2005-729766P	P	20051024
			WO 2006-US40665	W	20061018

OTHER SOURCE(S): MARPAT 146:481930

IT 901792-74-3P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of 3-piperidin-4-yl-indole derivs. as ORL-1 receptor modulators)

RN 901792-74-3 CAPLUS

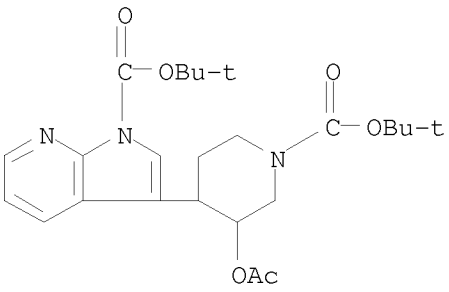
CN 1H-Pyrrolo[2,3-b]pyridine-1-carboxylic acid, 3-[1-[(1,1-dimethylethoxy)carbonyl]-3-hydroxy-4-piperidinyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)



IT 901792-75-4P, 3-(3-Acetoxy-1-tert-butoxycarbonylpiperidin-4-yl)pyrrolo[2,3-b]pyridine-1-carboxylic acid tert-butyl ester
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 3-piperidin-4-yl-indole derivs. as ORL-1 receptor modulators)

RN 901792-75-4 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine-1-carboxylic acid, 3-[3-(acetyloxy)-1-[(1,1-dimethylethoxy)carbonyl]-4-piperidinyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)



L14 ANSWER 2 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN
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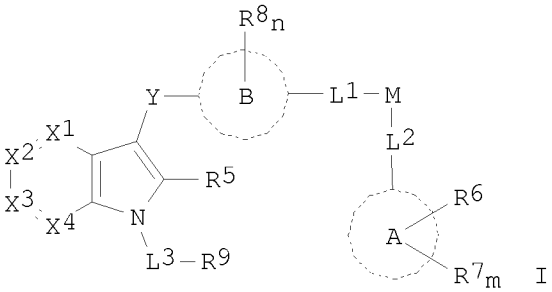
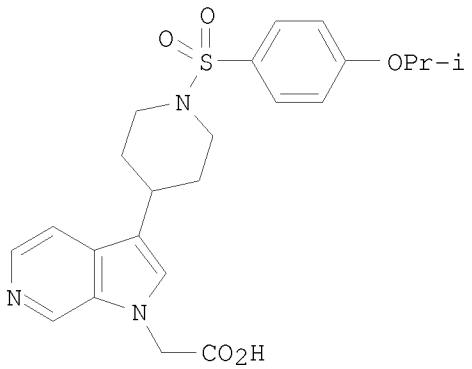


I



II

AB The object is to produce an azaindole derivative having a DP receptor antagonistic activity and a pharmaceutical composition comprising the compound as
an active ingredient and to provide a therapeutic agent for an allergic disease. A compound represented by the general formula I, a pharmaceutically acceptable salt thereof or a hydrate of the compound or salt: wherein the ring A represents an aromatic carbon ring or the like; the ring B represents a nitrogenated non-aromatic 3- to 8-membered heterocyclic ring or the like; the formula -X1=X2-X3=X4- is -C(R1)=C(R2)-C(R3)=N- or the like; R1, R2, R3, R4 and R5 independently represent a hydrogen atom, a halogen atom or the like; R6 represents a C1-C6 alkyloxy which may be substituted or the like; R7's independently represent a halogen atom or the like; R8 represents an alkyl group which may be substituted; R9 represents a carboxy or the like; M represents a sulfonyl or the like; Y represents a single bond or the like; L1, L2 and L3 independently

represent a single bond, an alkylene into which 1 to 2 heteroatoms may be inserted or the like; n is 0 or the like; and m is 0 or the like. For example, II was provided in a multi-step synthesis starting from the reaction of 1H-pyrrolo[2,3-c]pyridin with 1-(tert-butoxycarbonyl)-4-piperidone. I were tested for inhibition of DP receptor, prostanoid agonistic and antagonistic activity, and etc.

ACCESSION NUMBER: 2007:87424 CAPLUS
DOCUMENT NUMBER: 146:184424
TITLE: Preparation of azaindole derivatives having PGD2 receptor antagonistic activity
INVENTOR(S): Kugimiya, Akira; Makino, Itsuo; Onodera, Naohiro
PATENT ASSIGNEE(S): Shionogi & Co., Ltd., Japan
SOURCE: PCT Int. Appl., 169pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

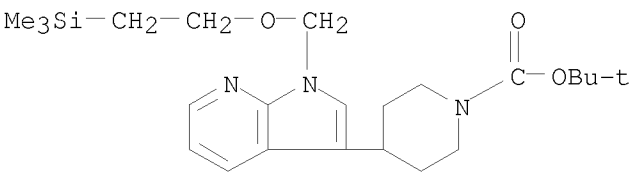
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007010965	A1	20070125	WO 2006-JP314346	20060720
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
EP 1911759	A1	20080416	EP 2006-781306	20060720
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				

PRIORITY APPLN. INFO.:
JP 2005-212910 A 20050722
JP 2005-362754 A 20051216
WO 2006-JP314346 W 20060720

OTHER SOURCE(S): MARPAT 146:184424

IT 921196-62-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of azaindole derivative having PGD2 receptor antagonistic activity)

RN 921196-62-5 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[1-[[2-(trimethylsilyl)ethoxy]methyl]-1H-pyrrolo[2,3-b]pyridin-3-yl]-, 1,1-dimethylethyl ester (CA INDEX NAME)



REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 3 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN
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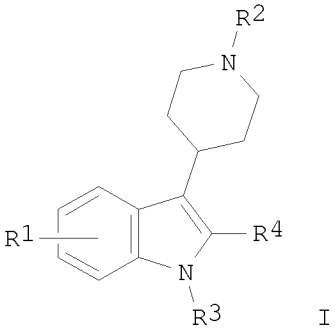
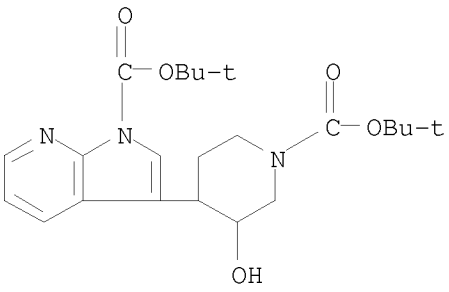


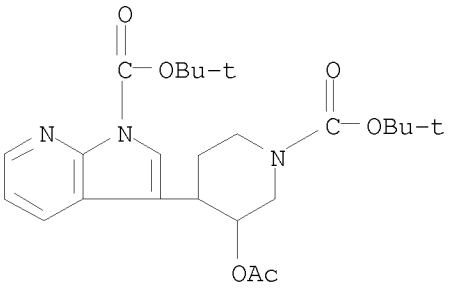
I

AB A novel series of indole and 1H-pyrrolo[2,3-b]pyridine derivs. having a piperidine ring at the 3-position, e.g. I [R1 = 5-Cl, 5-F, 6-Cl, 6-F, 7-Cl; R2 = PhCH2, cyclooctylmethyl, 1-naphthylmethyl, acenaphthenyl; R3 = H, PhCH2, (R)-H2NCH2CH(OH)CH2; R4 = H, Me] were synthesized and found to bind with high affinity to the ORL-1 receptor. Structure-activity relationships at the piperidine nitrogen were investigated in each series. Substitution on the Ph ring and nitrogen atom of the indole and 1H-pyrrolo[2,3-b]pyridine cores generated several selective high-affinity ligands that were agonists of the ORL-1 receptor.

ACCESSION NUMBER: 2006:499130 CAPLUS
DOCUMENT NUMBER: 145:167126
TITLE: 3-(4-Piperidinyl)indoles and 3-(4-piperidinyl)pyrrolo[2,3-b]pyridines as ligands for the ORL-1 receptor
AUTHOR(S): Bignan, Gilles C.; Battista, Kathleen; Connolly, Peter J.; Orsini, Michael J.; Liu, Jingchun; Middleton, Steven A.; Reitz, Allen B.
CORPORATE SOURCE: Johnson & Johnson Pharmaceutical Research and Development, L.L.C, Raritan, NJ, 08869, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (2006), 16(13), 3524-3528
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 145:167126
IT 901792-74-3P 901792-75-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of tetrahydropyridyl- and piperidinyl-substituted indoles and pyrrolo[2,3-b]pyridines as ligands for ORL-1 receptor)
RN 901792-74-3 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine-1-carboxylic acid, 3-[1-[(1,1-dimethylethoxy)carbonyl]-3-hydroxy-4-piperidinyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)



RN 901792-75-4 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine-1-carboxylic acid, 3-[3-(acetyloxy)-1-[(1,1-dimethylethoxy)carbonyl]-4-piperidinyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)



REFERENCE COUNT: 31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention relates to substituted dipiperidine compds. of formula I, which are antagonists of chemoattractant cytokine receptor 2 (CCR2). In compds. I, X1 is a bond, alkylene, carbonyl, alkylenecarbamoyl, or alkylenecarbamoylalkylene; R1 is (un)substituted aryl or (un)substituted heterocyclyl; X2 is a bond or alkylene; R2 is OH, halo, (un)substituted amino, cyano, nitro, alkoxy, carboxy, alkoxycarbonyl, etc.; X3 is carbonyl, carboxyl, acyl, acyloxy, acryloyl, etc.; and R3 is (un)substituted cycloalkyl, (un)substituted aryl, or (un)substituted heterocyclyl; including salts, isomers, prodrugs, metabolites, and polymorphs thereof. The invention also relates to the preparation of I, pharmaceutical compns. comprising an effective amount of a compound I and a pharmaceutically acceptable carrier, as well as to the use of the compns. for the prevention, treatment, or amelioration of CCR2-mediated inflammatory syndromes, disorders or diseases. α-Bromination of Et N-Boc-(piperidin-4-yl)acetate and substitution with 3-(piperidin-4-yl)-1H-indole gave N-Boc-dipiperidine II, which underwent hydride reduction, separation of enantiomers by chiral HPLC, deprotection, and amidation with (E)-3,4,5-trifluorocinnamoyl chloride, resulting in the formation of dipiperidine III. Several compds. of the invention are very active as

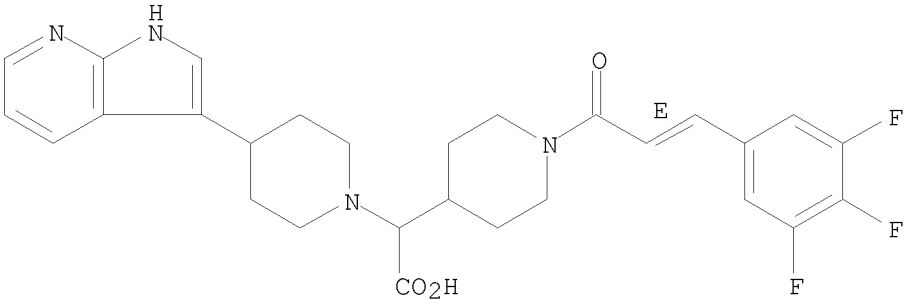
CCR2 antagonists, e.g., compound III expresses IC50 values of 0.6 nM, 0.05 nM, and 2 nM in assays for MCP-1 receptor binding, inhibition of MCP-1-induced calcium ion influx, and inhibition of MCP-1-induced chemotaxis, resp.

ACCESSION NUMBER: 2006:299097 CAPLUS
DOCUMENT NUMBER: 144:350550
TITLE: Substituted dipiperidines as CCR2 antagonists, their preparation, pharmaceutical compositions, and use in therapy
INVENTOR(S): Xia, Mingde; Wachter, Michael P.; Pan, Meng; Demong, Duane E.; Pollack, Scott R.
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 131 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20060069123	A1	20060330	US 2005-224215	20050912
AU 2005290028	A1	20060406	AU 2005-290028	20050912
CA 2582225	A1	20060406	CA 2005-2582225	20050912
WO 2006036527	A1	20060406	WO 2005-US32500	20050912
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
EP 1802602	A1	20070704	EP 2005-797411	20050912
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU				
CN 101065374	A	20071031	CN 2005-80040301	20050912
JP 2008514700	T	20080508	JP 2007-534623	20050912
MX 200703793	A	20070711	MX 2007-3793	20070328
NO 2007002065	A	20070615	NO 2007-2065	20070423
KR 2007063562	A	20070619	KR 2007-709314	20070424
IN 2007KN01510	A	20070727	IN 2007-KN1510	20070427
PRIORITY APPLN. INFO.:			US 2004-613922P P	20040928
			WO 2005-US32500 W	20050912

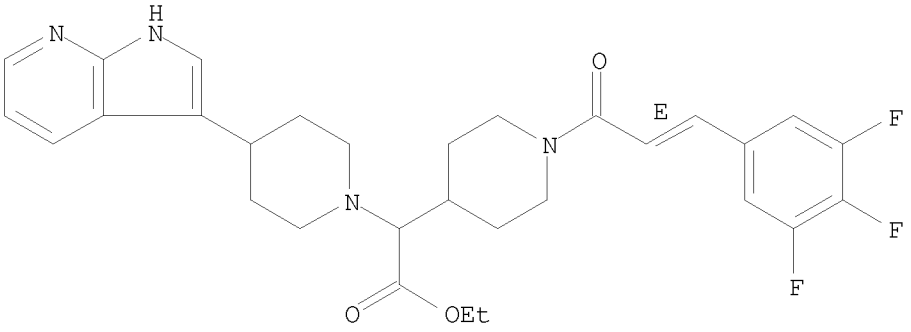
OTHER SOURCE(S): MARPAT 144:350550
IT 881016-69-9P 881016-83-7P 881016-86-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of substituted dipiperidines as CCR2 antagonists)
RN 881016-69-9 CAPLUS
CN 1-Piperidineacetic acid, α-[1-[(2E)-1-oxo-3-(3,4,5-trifluorophenyl)-2-propen-1-yl]-4-piperidinyl]-4-(1H-pyrrolo[2,3-b]pyridin-3-yl)- (CA INDEX NAME)

Double bond geometry as shown.



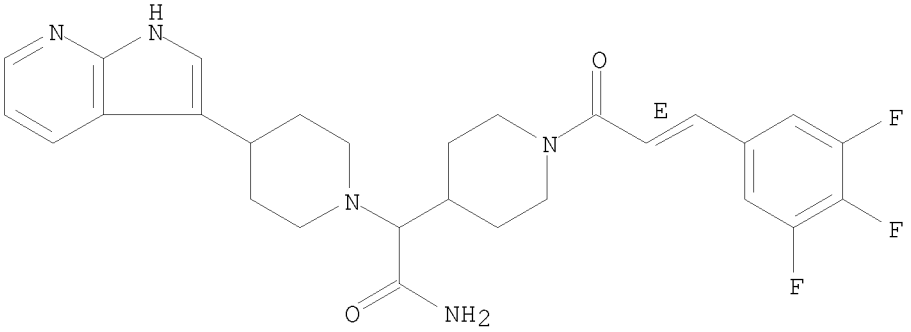
RN 881016-83-7 CAPLUS
CN 1-Piperidineacetic acid, α-[1-[(2E)-1-oxo-3-(3,4,5-trifluorophenyl)-2-propen-1-yl]-4-piperidinyl]-4-(1H-pyrrolo[2,3-b]pyridin-3-yl)-, ethyl ester (CA INDEX NAME)

Double bond geometry as shown.



RN 881016-86-0 CAPLUS
CN 1-Piperidineacetamide, α-[1-[(2E)-1-oxo-3-(3,4,5-trifluorophenyl)-2-propen-1-yl]-4-piperidinyl]-4-(1H-pyrrolo[2,3-b]pyridin-3-yl)- (CA INDEX NAME)

Double bond geometry as shown.



L14 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN
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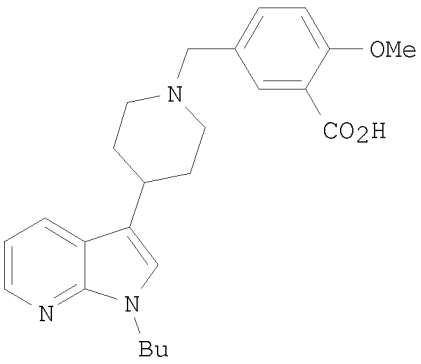


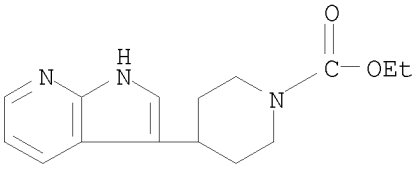
I

AB The synthesis and structure-activity relationships of piperidinylpyrrolopyridines, e.g., I, as potent and selective H1 antagonists are discussed. It was found that the nature of the acid chain bonded to piperidine was a key feature for maintaining both the duration of action in vivo and lack of sedative properties.

ACCESSION NUMBER: 2005:86492 CAPLUS
DOCUMENT NUMBER: 142:316728
TITLE: Synthesis and structure-activity relationships of piperidinylpyrrolopyridine derivatives as potent and selective H1 antagonists
AUTHOR(S): Fonquerna, Silvia; Miralpeix, Montse; Pages, Lluis; Puig, Carles; Cardus, Arantxa; Anton, Francisca; Vilella, Dolors; Aparici, Monica; Prieto, Jose; Warrellow, Graham; Beleta, Jorge; Ryder, Hamish
CORPORATE SOURCE: Almirall Prodesfarma, Research Center, Barcelona, 08024, Spain
SOURCE: Bioorganic & Medicinal Chemistry Letters (2005), 15(4), 1165-1167
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 142:316728

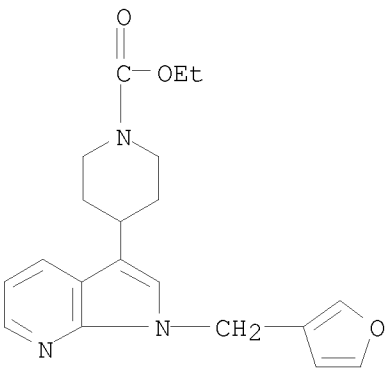
IT 612097-74-2P 612097-78-6P 612097-84-4P
612097-99-1P 848126-80-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation, H1 receptor affinity, and SAR of piperidinylpyrrolopyridines via condensation of pyrrolopyridine with ethoxycarbonylpiperidinone followed by N-alkyalation with alkyl halides, hydrolysis, N'-alkylation, and hydrolysis)

RN 612097-74-2 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-(1H-pyrrolo[2,3-b]pyridin-3-yl)-, ethyl ester (CA INDEX NAME)

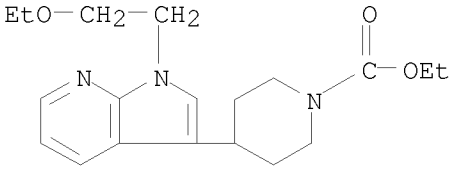


RN 612097-78-6 CAPLUS

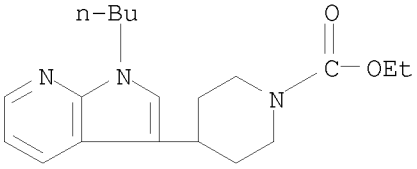
CN 1-Piperidinecarboxylic acid, 4-[1-(3-furanylmethyl)-1H-pyrrolo[2,3-b]pyridin-3-yl]-, ethyl ester (CA INDEX NAME)



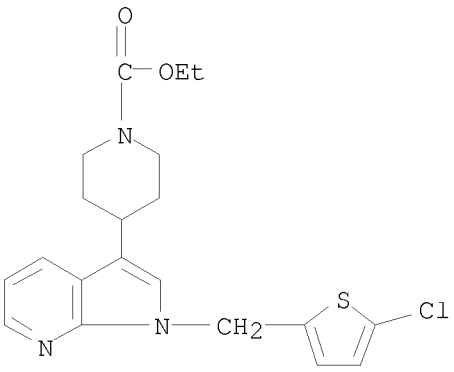
RN 612097-84-4 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[1-(2-ethoxyethyl)-1H-pyrrolo[2,3-b]pyridin-3-yl]-, ethyl ester (CA INDEX NAME)



RN 612097-99-1 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-(1-butyl-1H-pyrrolo[2,3-b]pyridin-3-yl)-, ethyl ester (CA INDEX NAME)



RN 848126-80-7 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[1-[(5-chloro-2-thienyl)methyl]-1H-pyrrolo[2,3-b]pyridin-3-yl]-, ethyl ester (CA INDEX NAME)



REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 6 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN
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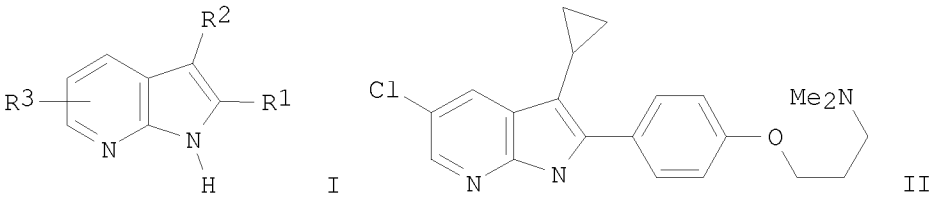


AB The title compds. [I; R1 = (un)substituted Ph or 5-6 membered aromatic heterocyclyl containing 1-3 heteroatoms selected from O, S and N; R2 = (un)substituted (un)saturated 3-7 membered ring optionally including 1 or 2 heteroatoms selected from O, N and SOn (n = 0-2); R3 = H, halo, alkyl, alkoxy, CN] and their salts, useful in the treatment or prophylaxis of human diseases or conditions in which inhibition of Itk kinase activity is beneficial such as asthma and allergic rhinitis, were prepared E.g., a 3-step synthesis of II, starting from 5-chloro-3-iodopyridin-2-amine and 2-methyl-4-trimethylsilyl-1-buten-3-yne, was given. The exemplified compds. I showed IC50 of < 25 μM against Itk kinase. The pharmaceutical composition comprising the compound I is claimed.

ACCESSION NUMBER: 2004:162688 CAPLUS
DOCUMENT NUMBER: 140:217628
TITLE: Preparation of substituted pyrrolopyridines as Itk kinase inhibitors
INVENTOR(S): Aadal Nielsen, Peter; Brimert, Thomas; Kristoffersson, Anna; Linnanen, Tero; Sjoe, Peter
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.
SOURCE: PCT Int. Appl., 44 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

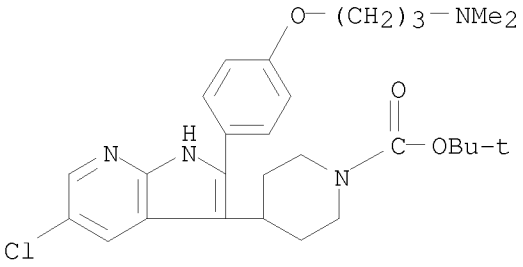
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

WO 2004016610 A1 20040226 WO 2003-SE1275 20030813
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
AU 2003253532 A1 20040303 AU 2003-253532 20030813
EP 1539758 A1 20050615 EP 2003-788212 20030813
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
JP 2006500363 T 20060105 JP 2004-529000 20030813
US 20050215582 A1 20050929 US 2005-524361 20050210
PRIORITY APPLN. INFO.: SE 2002-2463 A 20020814
WO 2003-SE1275 W 20030813

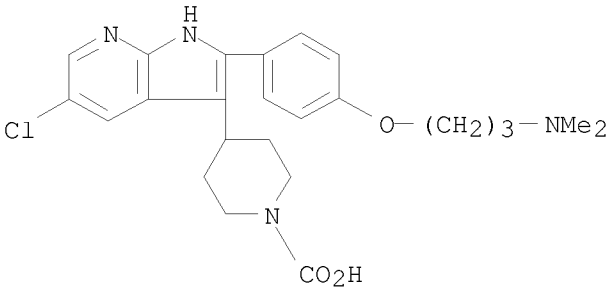
OTHER SOURCE(S): MARPAT 140:217628

IT 664361-88-0P 664361-92-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of substituted pyrrolopyridines as Itk kinase inhibitors)

RN 664361-88-0 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[5-chloro-2-[4-[3-(dimethylamino)propoxy]phenyl]-1H-pyrrolo[2,3-b]pyridin-3-yl]-, 1,1-dimethylethyl ester (CA INDEX NAME)



RN 664361-92-6 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[5-chloro-2-[4-[3-(dimethylamino)propoxy]phenyl]-1H-pyrrolo[2,3-b]pyridin-3-yl]- (CA INDEX NAME)



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 7 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN
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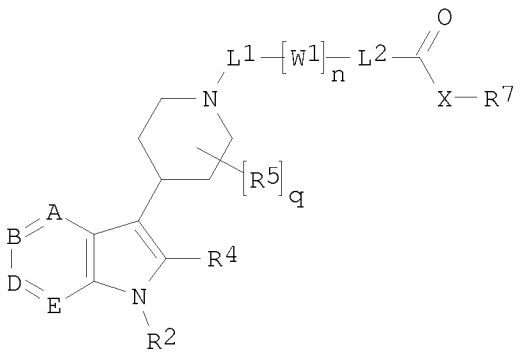


I

AB The title compds. [I; A, B, D and E = N, CR1 (with the proviso that at least one of A, B, D or E = N); R1 = H, halo, OH, etc; R2 = H, L3(W2)p; L1-L3 = a bond, (un)saturated hydrocarbon chain optionally containing 1-3 groups selected from S, O, NR3 (R3 = H, alkyl); R4, R5 = H, halo, OH, etc.; X = O, NR6; R6, R7 = H, alkyl, alkenyl, etc.; W1, W2 = (un)substituted 3-7 membered (non)aromatic ring containing 0-4 heteroatoms selected from N, O and S, which is optionally fused to another 3-7 membered (non)aromatic (hetero)cycle; n, p = 0-1; q = 1-9] which are new potent and selective antagonists of H1 histamine receptors, were prepared and formulated. E.g., a multi-step synthesis of 3-{4-[1-(2-methoxyethyl)-1H-pyrrolo[2,3-b]pyridin-3-yl]piperidin-1-ylmethyl}benzoic acid which showed IC50 of 240 nM against histamine H1 receptor binding, was given.

ACCESSION NUMBER: 2003:796703 CAPLUS
DOCUMENT NUMBER: 139:307748
TITLE: Preparation of azaindolylpiperidines as antihistaminic and antiallergic agents
INVENTOR(S): Fonquerna Pou, Silvia; Pages Santacana, Luis Miguel; Puig Duran, Carlos; Cardus Figueras, Aranzazu
PATENT ASSIGNEE(S): Almirall Prodesfarma S.A., Spain; Prieto Soto, Jose Manuel
SOURCE: PCT Int. Appl., 94 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003082867	A1	20031009	WO 2003-EP3377	20030401

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,

FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,
BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

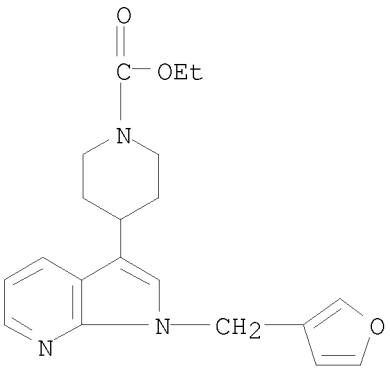
ES 2201899	A1	20040316	ES 2002-753		20020401
ES 2201899	B1	20050601			
AU 2003226765	A1	20031013	AU 2003-226765		20030401
EP 1497292	A1	20050119	EP 2003-745302		20030401
EP 1497292	B1	20060614			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK					
JP 2005526816	T	20050908	JP 2003-580332		20030401
AT 329916	T	20060715	AT 2003-745302		20030401
PT 1497292	T	20061031	PT 2003-745302		20030401
ES 2265577	T3	20070216	ES 2003-745302		20030401
US 20050176751	A1	20050811	US 2005-509279		20050505
PRIORITY APPLN. INFO.:			ES 2002-753	A	20020401
			WO 2003-EP3377	W	20030401

OTHER SOURCE(S): MARPAT 139:307748

IT 612097-78-6P 612097-91-3P 612097-96-8P
612097-99-1P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of azaindolylpiperidines as antihistaminic and antiallergic agents)

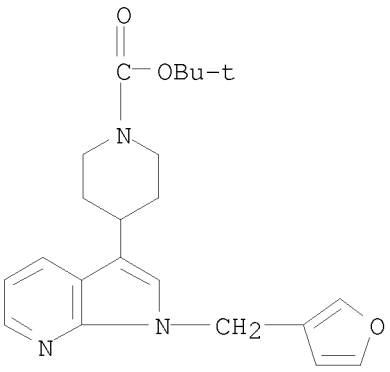
RN 612097-78-6 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[1-(3-furanylmethyl)-1H-pyrrolo[2,3-b]pyridin-3-yl]-, ethyl ester (CA INDEX NAME)

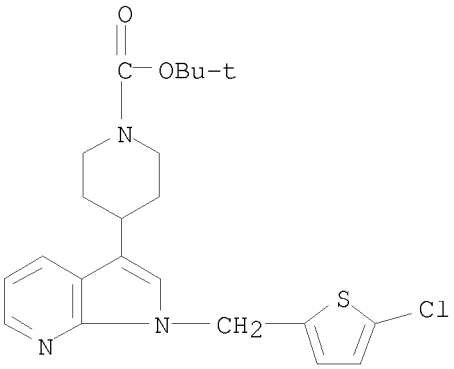


RN 612097-91-3 CAPLUS

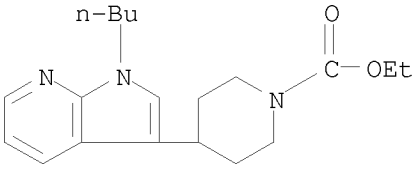
CN 1-Piperidinecarboxylic acid, 4-[1-(3-furanylmethyl)-1H-pyrrolo[2,3-b]pyridin-3-yl]-, 1,1-dimethylethyl ester (CA INDEX NAME)



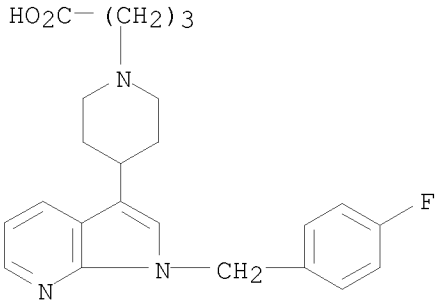
RN 612097-96-8 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[1-[(5-chloro-2-thienyl)methyl]-1H-pyrrolo[2,3-b]pyridin-3-yl]-, 1,1-dimethylethyl ester (CA INDEX NAME)



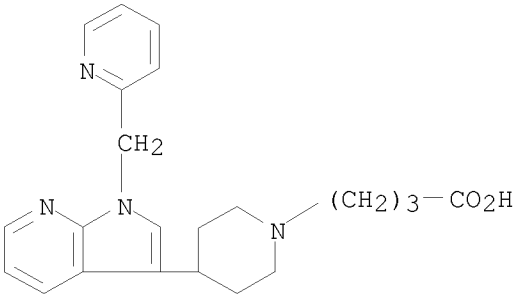
RN 612097-99-1 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-(1-butyl-1H-pyrrolo[2,3-b]pyridin-3-yl)-, ethyl ester (CA INDEX NAME)



IT 612097-03-7P 612097-38-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of azaindolylpiperidines as antihistaminic and antiallergic agents)
RN 612097-03-7 CAPLUS
CN 1-Piperidinebutanoic acid, 4-[1-[(4-fluorophenyl)methyl]-1H-pyrrolo[2,3-b]pyridin-3-yl]- (CA INDEX NAME)

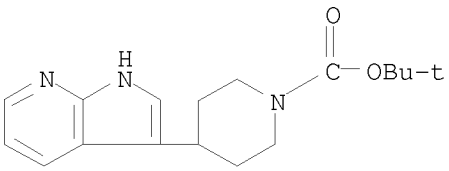


RN 612097-38-8 CAPLUS
CN 1-Piperidinebutanoic acid, 4-[1-(2-pyridinylmethyl)-1H-pyrrolo[2,3-b]pyridin-3-yl]- (CA INDEX NAME)

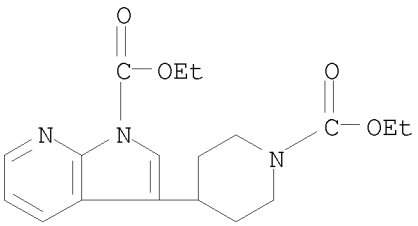


IT 400801-83-4P 612097-73-1P 612097-74-2P
612097-75-3P 612097-84-4P 612097-90-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of azaindolylpiperidines as antihistaminic and antiallergic agents)

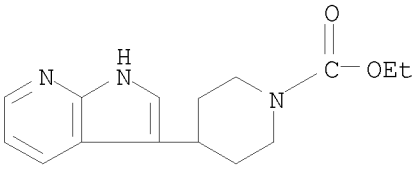
RN 400801-83-4 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-(1H-pyrrolo[2,3-b]pyridin-3-yl)-, 1,1-dimethylethyl ester (CA INDEX NAME)



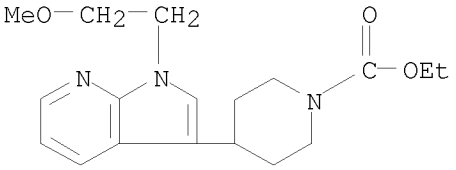
RN 612097-73-1 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine-1-carboxylic acid, 3-[1-(ethoxycarbonyl)-4-piperidinyl]-, ethyl ester (CA INDEX NAME)



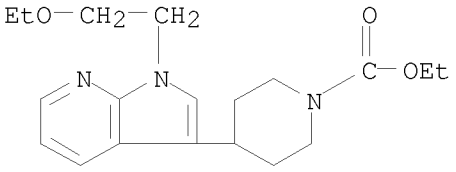
RN 612097-74-2 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-(1H-pyrrolo[2,3-b]pyridin-3-yl)-, ethyl ester (CA INDEX NAME)



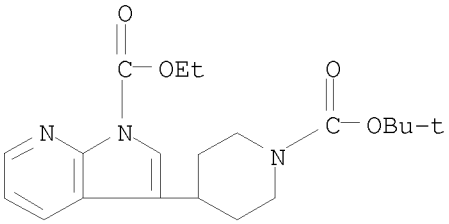
RN 612097-75-3 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[1-(2-methoxyethyl)-1H-pyrrolo[2,3-b]pyridin-3-yl]-, ethyl ester (CA INDEX NAME)



RN 612097-84-4 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[1-(2-ethoxyethyl)-1H-pyrrolo[2,3-b]pyridin-3-yl]-, ethyl ester (CA INDEX NAME)



RN 612097-90-2 CAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine-1-carboxylic acid, 3-[1-[(1,1-dimethylethoxy)carbonyl]-4-piperidinyl]-, ethyl ester (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 8 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN
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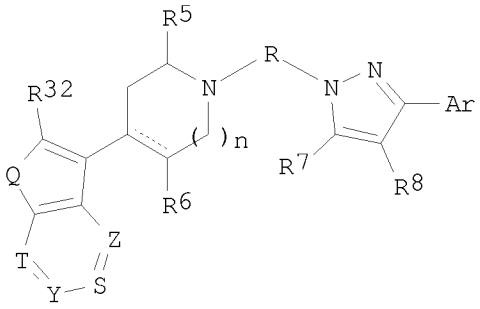

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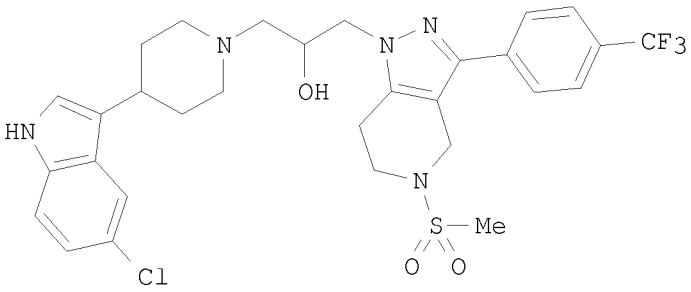


II

AB Title compds. I [wherein Ar = (un)substituted mono- or bicyclic (hetero)aryl; G = (un)substituted alkenediyl or alkanediyl; Q = O, S, or (un)substituted N; S, T, Y, and Z = independently N or (un)substituted C; R5 and R6 = independently H or alkyl; R7 and R8 = independently H, alkyl, alkenyl, alkoxy, alkylthio, halo, carbocyclyl, or heterocyclyl; or R7R8 = (un)substituted carbocyclic or heterocyclic ring; R32 = H, (hydroxy)alkyl, CN, acyl, carbamoyl, CHO, or alkoxycarbonyl; n = 0-2; or pharmaceutically acceptable salts, amides, esters, or stereoisomers thereof] were prepared as cathepsin S inhibitors for the treatment of an allergic condition, including an atopic allergic conditions. For example, 1-methanesulfonylpiperidin-4-one (preparation given) was condensed with morpholine in the presence of TsOH to give the enamine. Reaction with 4-CF3C6H4COCl, followed by cycloaddn. with H2NNH2, gave 5-methanesulfonyl-3-(4-trifluoromethylphenyl)-4,5,6,7-tetrahydro-1H-pyrazol[4,3-c]pyridine (72%). Alkylation with epichlorohydrin (35%) and addition of 5-chloro-3-piperidin-4-yl-1H-indole (preparation given) afforded II

(88%). The latter inhibited recombinant human cathepsin S with IC50 of 0.07 μM.

ACCESSION NUMBER: 2002:184900 CAPLUS
DOCUMENT NUMBER: 136:247577
TITLE: Preparation of 3-phenyl-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridines as cathepsin S inhibitors for treating allergies
INVENTOR(S): Cai, Hui; Edwards, James P.; Gu, Yin; Karlsson, Lars; Meduna, Steven P.; Pio, Barbara A.; Sun, Siquan; Thurmond, Robin L.; Wei, Jianmei
PATENT ASSIGNEE(S): Ortho McNeil Pharmaceutical, Inc., USA
SOURCE: PCT Int. Appl., 115 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 9
PATENT INFORMATION:

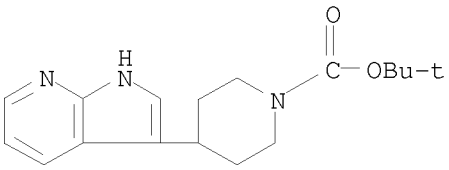
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002020013	A2	20020314	WO 2001-US27480	20010905
WO 2002020013	A3	20020620		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 20020040019	A1	20020404	US 2001-927188	20010810
US 6635633	B2	20031021		
CA 2421510	A1	20020314	CA 2001-2421510	20010905
AU 2001088731	A	20020322	AU 2001-88731	20010905
EP 1315492	A2	20030604	EP 2001-968487	20010905
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004508330	T	20040318	JP 2002-524497	20010905
CN 1505509	A	20040616	CN 2001-818504	20010905
NZ 524682	A	20041126	NZ 2001-524682	20010905
RU 2259202	C2	20050827	RU 2003-106190	20010905
AU 2001288731	B2	20051215	AU 2001-288731	20010905
IN 2003KN00264	A	20041009	IN 2003-KN264	20030303
MX 2003PA01962	A	20040326	MX 2003-PA1962	20030305
US 20050234102	A1	20051020	US 2005-147923	20050608
US 7265102	B2	20070904		

PRIORITY APPLN. INFO.:	US 2000-230407P	P	20000906
	US 2001-927188	A	20010810
	US 2000-225178P	P	20000814
	WO 2001-US27480	W	20010905
	US 2003-401486	A1	20030328

OTHER SOURCE(S): MARPAT 136:247577

IT 400801-83-4P, 4-(1H-Pyrrolo[2,3-b]pyridin-3-yl)-piperidine-1-carboxylic acid tert-butyl ester
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of phenylpyrazolopyridine antiallergy agents from piperidinones, benzoyl chlorides, and hydrazine)

RN 400801-83-4 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-(1H-pyrrolo[2,3-b]pyridin-3-yl)-, 1,1-dimethylethyl ester (CA INDEX NAME)



L14 ANSWER 9 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Substituted pyrazoles I, methods of manufacturing them, compns. containing them, and
methods of using them to treat, for example, autoimmune diseases mediated by cathepsin S, are described [W, X, Y, Z = N, (un)substituted CH (0-3 of them may be N; or 1 can be N-oxide when other 3 ≠ N); R = H, alkyl, cyano, hydroxyalkyl, acyl, CHO, alkoxycarbonyl, or (un)substituted carbamoyl; R1, R2 = H, alkyl; R3, R4 = H, alkyl, alkenyl, alkoxy, alkylthio, halo, or 4- to 7-membered carbo- or heterocyclyl; or R3R4 = atoms to form (un)substituted (un)saturated (non)aromatic 5- to 7-membered carbo-
or heterocyclic ring; Ar = (un)substituted mono- or bicyclic (hetero)aryl; n = 0-2; G = (un)substituted C3-6 alkanediyl or alkenediyl (substituents = OH, halo, oxo, aminoalkyl, etc.); Q = O, S, (un)substituted NH; including stereoisomers, pharmaceutically acceptable salts, esters, and amides]. Claimed uses include treatment of lupus, rheumatoid arthritis, and particularly asthma, and inhibition of tissue transplant rejection. Approx. 70 individual compds. I were prepared and/or claimed, with detailed prepns. given for 13 compds. For instance, 6-(morpholin-4-yl)-3-(piperidin-4-yl)-1H-pyrrolo[3,2-c]pyridine (prepared in 5 steps) reacted with the corresponding epoxide (prepared in several steps) to give title compound II, a preferred compound In an assay for inhibition of recombinant human cathepsin S in vitro, II had an IC50 of 0.02 μM. Compound III is another one of four specifically preferred compds.

ACCESSION NUMBER: 2002:142709 CAPLUS
DOCUMENT NUMBER: 136:200183
TITLE: Substituted and/or fused pyrazoles, particularly indolylpiperidinylpropyl-substituted pyrazolopyridines, useful as cathepsin S inhibitors, and their pharmaceutical compositions and use as immunosuppressants
INVENTOR(S): Cai, Hui; Edwards, James P.; Meduna, Steven P.; Pio, Barbara A.; Wei, Jianmei
PATENT ASSIGNEE(S): Ortho McNeil Pharmaceutical, Inc., USA
SOURCE: PCT Int. Appl., 119 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 9
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002014317	A2	20020221	WO 2001-US25180	20010810

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     US 6635633            B2    20031021
     EP 1309592            A2    20030514    EP 2001-963912          20010810
     EP 1309592            B1    20060426
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     US 6936603            B2    20050830
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     US 6951851            B2    20051004
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     US 20050234102        A1    20051020    US 2005-147923          20050608
     US 7265102            B2    20070904
PRIORITY APPLN. INFO.:                       US 2000-225178P     P  20000814
                                             US 2001-927188      A  20010810
                                             CN 2001-817066      A3 20010810
                                             US 2001-927324      A  20010810
                                             WO 2001-US25180     W  20010810
                                             US 2003-401486      A1 20030328
OTHER SOURCE(S):         MARPAT 136:200183
IT   400801-83-4P, 4-(1H-Pyrrolo[2,3-b]pyridin-3-yl)piperidine-1-
     carboxylic acid tert-butyl ester
     RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
     (Reactant or reagent)
        (intermediate; preparation of indolylpiperidinylpropyl-substituted
        pyrazolopyridines and analogs as cathepsin S inhibitors)
RN   400801-83-4  CAPLUS
CN   1-Piperidinecarboxylic acid, 4-(1H-pyrrolo[2,3-b]pyridin-3-yl)-,
     1,1-dimethylethyl ester  (CA INDEX NAME)
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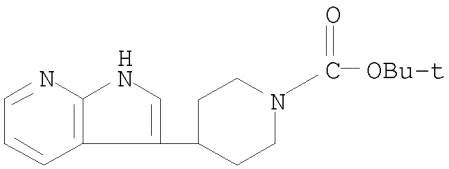

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